09/ 835,523

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NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2	Apr 08	"Ask CAS" for self-help around the clock
NEWS	3	Apr 09	BEILSTEIN: Reload and Implementation of a New Subject Area
NEWS	4	Apr 09	ZDB will be removed from STN
NEWS	5	Apr 19	US Patent Applications available in IFICDB, IFIPAT, and IFIUDB
NEWS	6	Apr 22	Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS
NEWS	7	Apr 22	BIOSIS Gene Names now available in TOXCENTER
NEWS	8	Apr 22	Federal Research in Progress (FEDRIP) now available
NEWS	9	Jun 03	New e-mail delivery for search results now available
NEWS	10	Jun 10	MEDLINE Reload
NEWS	11	Jun 10	PCTFULL has been reloaded
NEWS	12	Jul 02	FOREGE no longer contains STANDARDS file segment
NEWS	13	Jul 22	USAN to be reloaded July 28, 2002; saved answer sets no longer valid
NEWS	14	Jul 29	Enhanced polymer searching in REGISTRY
NEWS	15	Jul 30	NETFIRST to be removed from STN
NEWS	16	Aug 08	CANCERLIT reload
NEWS	17	Aug 08	PHARMAMarketLetter(PHARMAML) - new on STN
NEWS	18	Aug 08	NTIS has been reloaded and enhanced
NEWS	19	Aug 09	JAPIO to be reloaded August 18, 2002

NEWS EXPRESS	February 1 CURRENT WINDOWS VERSION IS V6.0d, CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP), AND CURRENT DISCOVER FILE IS DATED 05 FEBRUARY 2002
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
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FILE 'HOME' ENTERED AT 16:36:11 ON 14 AUG 2002

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

09/ 835,523

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DICTIONARY FILE UPDATES: 13 AUG 2002 HIGHEST RN 443862-53-1

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http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

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L1 STRUCTURE UPLOADED

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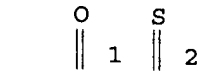
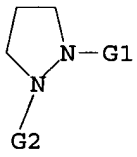
L1 STR





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G2 SO2,[@1],[@2]

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 212 TO ITERATE

100.0% PROCESSED 212 ITERATIONS 50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.02

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 3367 TO 5113
PROJECTED ANSWERS: 1047 TO 2113

L2 50 SEA SSS SAM L1

09/ 835,523

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=> s l1 ful
FULL SEARCH INITIATED 16:36:58 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   4011 TO ITERATE

100.0% PROCESSED    4011 ITERATIONS                          1547 ANSWERS
SEARCH TIME: 00.00.05

L3          1547 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   140.66     140.87

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FILE LAST UPDATED: 13 Aug 2002  (20020813/ED)

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the CAS Roles thesaurus (/RL field) in this file.

=> s l3/biol
           383 L3
       5174338 BIOL/RL
L4          49 L3/BIOL
                (L3 (L) BIOL/RL)

=> d l4 1- ibib abs fhitstr
YOU HAVE REQUESTED DATA FROM 49 ANSWERS - CONTINUE? Y/(N):y

L4   ANSWER 1 OF 49  CAPLUS  COPYRIGHT 2002 ACS
ACCESSION NUMBER:        2002:293652  CAPLUS
DOCUMENT NUMBER:         136:325531
TITLE:                   Preparation of (poly)azanaphthalenyl carboxamides as
                         HIV integrase inhibitors
INVENTOR(S):             Anthony, Neville J.; Gomez, Robert P.; Young, Steven
                         D.; Egbertson, Melissa; Wai, John S.; Zhuang,
                         Linghang; Embrey, Mark; Tran, Lekhanh; Melamed,
                         Jeffrey Y.; Langford, H. Marie; Guare, James P.;
                         Fisher, Thorsten E.; Jolly, Samson M.; Kuo, Michelle
                         S.; Perlow, Debra S.; Bennett, Jennifer J.; Funk,
                         Timothy W.
PATENT ASSIGNEE(S):      Merck & Co., Inc., USA
```

09/ 835,523

SOURCE: PCT Int. Appl., 434 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002030930	A2	20020418	WO 2001-US31456	20011009

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-239707P P 20001012
US 2001-281656P P 20010405

OTHER SOURCE(S): MARPAT 136:325531
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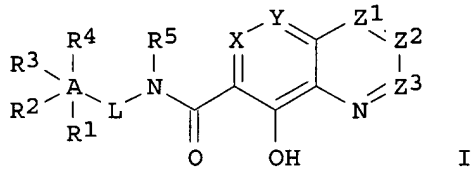

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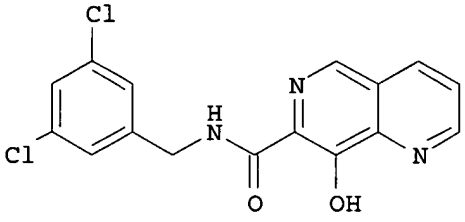


II

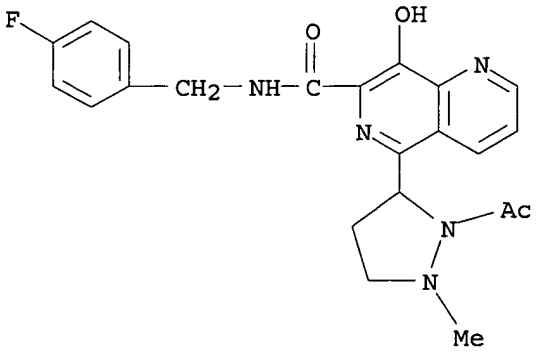
AB Title compds., including certain quinoline carboxamide and naphthyridine carboxamide derivs., I [wherein A = (un)substituted Ph or Ph fused to a carbocycle; L = a single bond, or (un)substituted alkyl, alkenyl, alkylcycloalkylalkyl, or alkyl-M-alkyl; M = NRa, OCO, or CO2; X = N or CQ1; Y = N or CQ2, provided that X and Y are not both N; Z1 = N or CQ3; Z2 = N or CQ4; Z3 = N or CH; Q1-Q4 = independently H, halo, CN, NR1CR1O, or (un)substituted alkyl, alkoxy, alkenyl, alkynyl, carbamoyl, carboximidamido, amino, etc.; or C2Q2Q3 = (un)substituted 5- or 6-membered carbocycle or heterocycle; R1 and R2 = independently H, OH, halo, NO2, CN, or (un)substituted alkyl, alkenyl, alkoxy, amino, sulfonylamino, etc.; R3 and R4 = independently H, halo, CN, NO2, OH, alkenyl, or (un)substituted alkyl, amino, sulfonylamino, etc.; R5 = H, CN, CN, or (un)substituted alkyl or aryl; Ra = independently H or (halo)alkyl; or pharmaceutically acceptable salts thereof] were prepd. I are inhibitors of HIV integrase and inhibitors of HIV replication, and are useful in the prevention or treatment of infection by HIV and the treatment of AIDS, as compds. or pharmaceutically acceptable salts, or as ingredients in pharmaceutical

compns., optionally in combination with other antivirals, immunomodulators, antibiotics, or vaccines. For example, Mitsunobu reaction of iso-Pr 3-(hydroxymethyl)pyridine-2-carboxylate with Me N-[(4-methylphenyl)sulfonyl]glycinate, followed by cyclization in the presence on NaOMe, afforded Me 8-hydroxy-1,6-naphthyridine-7-carboxylate. Coupling with 3,5-dichlorobenzylamine in toluene gave II. Representative compds. were assayed for the inhibition of acute HIV infection of T-lymphoid cells and demonstrated IC95 values of < 20 .mu.M.

IT **410545-33-4P**, 5-(2-Acetyl-1-methylpyrazolidin-3-yl)-N-(4-Fluorobenzyl)-8-hydroxy[1,6]naphthyridine-7-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(HIV integrase inhibitor; prepn. of (poly)azanaphthalenyl carboxamides as HIV integrase inhibitors for treatment of AIDS)

RN 410545-33-4 CAPLUS

CN 1,6-Naphthyridine-7-carboxamide, 5-(2-acetyl-1-methyl-3-pyrazolidinyl)-N-[(4-fluorophenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 49 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:172490 CAPLUS
DOCUMENT NUMBER: 136:232310
TITLE: Preparation of N-substituted cyclic aza compounds having neuronal activity
INVENTOR(S): Wu, Yong-qian; Huang, Wei; Hamilton, Gregory S.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 54 pp., Cont.-in-part of U. S. Ser. No. 551,618.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002028814	A1	20020307	US 2001-835523	20010417
US 6417189	B1	20020709	US 2000-551618	20000417

PRIORITY APPLN. INFO.: US 1999-164950P P 19991112
US 2000-551618 A2 20000417
OTHER SOURCE(S): MARPAT 136:232310
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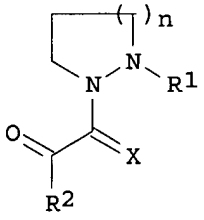

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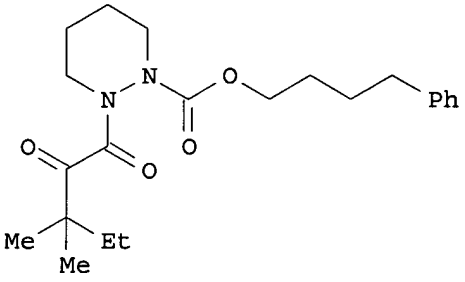


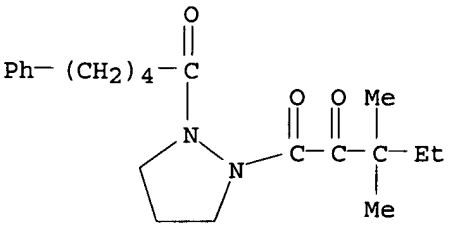
II

AB Title compds. I [n = 1-3; R1 = CR3, CO2R3, COR3, etc.; R2, R3 = H, alkyl, alkenyl, etc.; X = O, S], useful for effecting neuronal activities, were prepd. Thus, II was prepd. via a multi-step synthesis from tert-Bu 2-benzylperhydropyridazinecarboxylate. Biol. data for I (results of test for rotamase inhibition and MPTP model of Parkinson's disease) were given. E.g., II possessed a Ki value of 1175 nM in inhibition studies of rotamase and a 14% TH recovery in MPTP models.

IT **340255-68-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. of N-substituted cyclic aza compds. having neuronal activity)

RN 340255-68-7 CAPLUS

CN Pyrazolidine, 1-(3,3-dimethyl-1,2-dioxopentyl)-2-(1-oxo-5-phenylpentyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 3 OF 49 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:780859 CAPLUS

DOCUMENT NUMBER: 135:331433

TITLE: Preparation of cyclic diaza compounds for treating neurodegenerative disorders

INVENTOR(S): Wu, Yong-Qian; Huang, Wei; Hamilton, Gregory S.

PATENT ASSIGNEE(S): GPI NIL Holdings, Inc., USA

SOURCE: PCT Int. Appl., 162 pp.
CODEN: PIXXD2

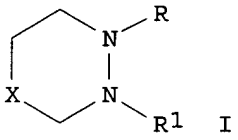
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001079177	A1	20011025	WO 2001-US12322	20010417
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6417189	B1	20020709	US 2000-551618	20000417

PRIORITY APPLN. INFO.: US 2000-551618 A 20000417
US 1999-164950P P 19991112

OTHER SOURCE(S): MARPAT 135:331433
GI

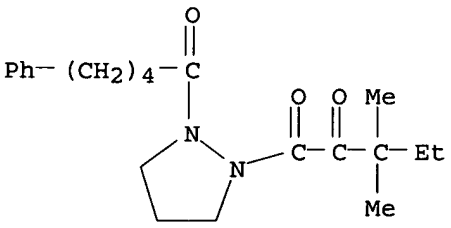


I

AB Title compds. [I;X = bond, CH2; R = COY(CH2)nC6H5, 5-(3-pyridyl)-pent-4-ynoyl, NCCCCH2CH2CO, 5-(3-pyridyl)-pentanoyl, 3-(3-pyridyl)-propoxycarbonyl; Y = O, bond; n = 5, 4, 3, 2; R1 = C6H5CH2SO2, (CH3CH2)(CH3)2CCOCO, C6H5CH2SO2, cyclohexylaminocarbonyl] are prepd. for pharmaceutical compns. comprising such compds. and methods of their use for effecting neuronal activities. Thus, the title compd. I (X = bond; Y = bond; n = 4; R = COY(CH2)nC6H5; R1 = (CH3CH2)(CH3)2CCOCO) was prepd. and biol. tested in mice for MPTP model of Parkinson's disease and showed recovery of TH-stained dopaminergic neurons.

IT **340255-68-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. of cyclic diaza compds. for treating neurodegenerative disorders)

RN 340255-68-7 CAPLUS
CN Pyrazolidine, 1-(3,3-dimethyl-1,2-dioxopentyl)-2-(1-oxo-5-phenylpentyl)-(9CI) (CA INDEX NAME)



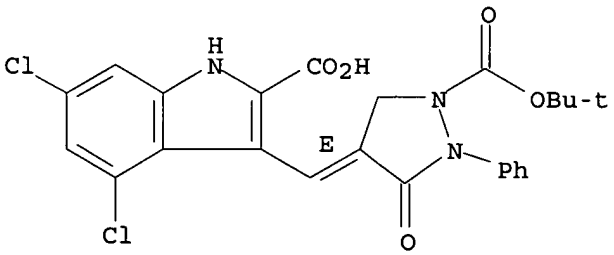
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 49 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:720355 CAPLUS
DOCUMENT NUMBER: 136:256698
TITLE: Synthesis and pharmacological characterization of a conformationally restrained series of indole-2-carboxylates as in vivo potent glycine antagonists
AUTHOR(S): Di Fabio, R.; Araldi, G.; Baraldi, D.; Cugola, A.; Donati, D.; Gastaldi, P.; Giacobbe, S. A.; Micheli, F.; Pentassuglia, G.
CORPORATE SOURCE: Medicines Research Centre, GlaxoWellcome SpA, GlaxoSmithKline Group, Verona, 37135, Italy
SOURCE: Farmaco (2001), 56(10), 791-798
CODEN: FRMCE8; ISSN: 0014-827X
PUBLISHER: Elsevier Science S.A.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB After the identification of GV150526, the indole-2-carboxylate template was further explored o identify novel potential anti-stroke agents. In particular, the SAR of the side chain present at the C-3 position of the indole nucleus was widely studied. In this paper, the synthesis and the pharmacol. profile of a further class of conformationally restricted analogs of GV150526 as in vitro and in vivo potent glycine antagonists is reported. In particular, a pyrazolidinone deriv. was identified as a potent neuroprotective agent in animal models of cerebral ischemia.

IT **166974-27-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(synthesis and pharmacol. characterization of a conformationally restrained series of indole-2-carboxylates as in vivo potent glycine antagonists)
RN 166974-27-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[(E)-[1-[(1,1-dimethylethoxy)carbonyl]-3-oxo-2-phenyl-4-pyrazolidinylidene]methyl]- (9CI) (CA INDEX NAME)

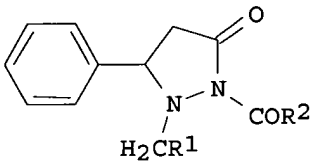
Double bond geometry as shown.



REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 49 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:701079 CAPLUS
DOCUMENT NUMBER: 136:369646
TITLE: Synthesis and anticonvulsion activity of 2-substituted acyl- 1-alkyl-5-phenyl-3-pyrazolidones
AUTHOR(S): Quan, Zheshan; Piao, Huri; Li, Yuhua
CORPORATE SOURCE: College of Pharmacy, Yanbian University, Yanji, 133000, Peop. Rep. China
SOURCE: Zhongguo Yaowu Huaxue Zazhi (2001), 11(4), 215-217

CODEN: ZYHZEF; ISSN: 1005-0108
PUBLISHER: Zhongguo Yaowu Huaxue Zazhi Bianjibu
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
OTHER SOURCE(S): CASREACT 136:369646
GI



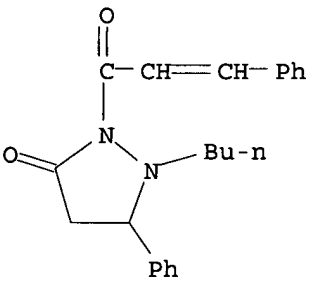
I

AB Title compds. I 1-R1-2-(R2-carbonyl)-5-phenyl-3- pyrazolidones (R1 = n-Pr or ethyl; R2 = Me, n-Pr, 9-decenyl, Ph 2-phenylethenyl, 2-(4-methylphenyl)ethenyl, 2-(5-1,3-benzodioxo)ethenyl, 2-(4-methoxyphenyl)ethenyl, 2-(4-chlorophenyl)ethenyl, or 2-(3,4-dichorophenyl)ethenyl) were synthesized by condensation R1-CHO with 5-phenyl-3-pyrazolidone in methanol at 40.degree. for 3 h, reducing with NaBH4, acylating with R2-carbonyl chloride, and salifying with HCl. Their structures were identified by IR and 1HNMR. The pharmacol. tests showed that three of the synthetic compds. had appreciable anticonvulsion activity.

IT **227001-92-5P**
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(2-substituted acyl-1-alkyl-5-phenyl-3-pyrazolidones synthesis)

RN 227001-92-5 CAPLUS

CN 3-Pyrazolidinone, 1-butyl-2-(1-oxo-3-phenyl-2-propenyl)-5-phenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 49 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:669962 CAPLUS
DOCUMENT NUMBER: 135:284509
TITLE: Negative cross-resistance between dihydropyrazole insecticides and pyrethroids in houseflies, Musca domestica

AUTHOR(S): Khambay, Bhupinder P. S.; Denholm, Ian; Carlson, Glenn R.; Jacobson, Richard M.; Dhadialla, Tarlochan S.
CORPORATE SOURCE: Biological Chemistry Division, IACR-Rothamsted, Harpenden, AL5 2JQ, UK

SOURCE: Pest Management Science (2001), 57(9), 761-763
CODEN: PMSCFC; ISSN: 1526-498X
PUBLISHER: John Wiley & Sons Ltd.
DOCUMENT TYPE: Journal

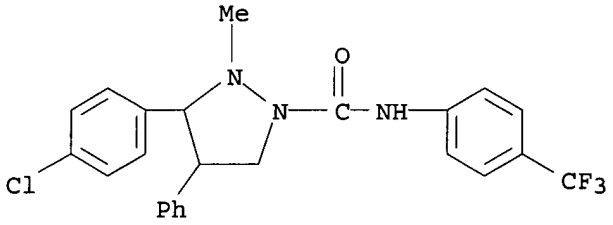
LANGUAGE: English

AB A series of insecticidal dihydropyrazoles and related compds. have been shown to exhibit neg. cross-resistance to a resistant (super-kdr) strain of houseflies with site-insensitivity to pyrethroids. The level of cross-resistance is similar to that obsd. previously for a range of N-alkylamides against the same strain.

IT **142404-18-0**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **BIOL (Biological study)**
(neg. cross-resistance between dihydropyrazole insecticides and pyrethroids in houseflies)

RN 142404-18-0 CAPLUS

CN 1-Pyrazolidinecarboxamide, 3-(4-chlorophenyl)-2-methyl-4-phenyl-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:565019 CAPLUS

DOCUMENT NUMBER: 135:152797

TITLE: Preparation of isothiazolecarboxylic acid derivatives and their use as microbicides

INVENTOR(S): Kitagawa, Yoshinori; Ishikawa, Koichi; Sawada, Haruko; Araki, Yasuo; Assmann, Lutz

PATENT ASSIGNEE(S): Nihon Bayer Agrochem K. K., Japan

SOURCE: PCT Int. Appl., 215 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

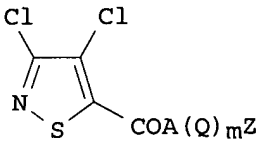
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001055124	A1	20010802	WO 2001-EP682	20010123
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
JP 2001213869	A2	20010807	JP 2000-19920	20000128

PRIORITY APPLN. INFO.: JP 2000-19920 A 20000128

OTHER SOURCE(S): MARPAT 135:152797

GI



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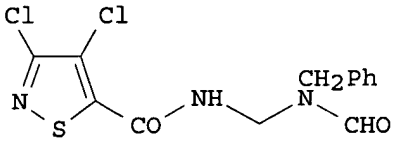


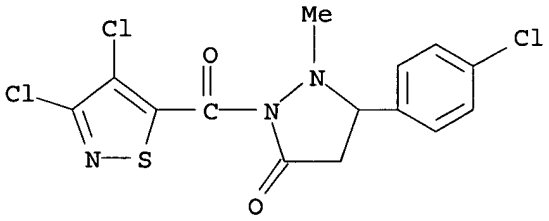
II

AB Title compds. [I; A = S, NR1; R1 = C1-4alkyl, C3-6cycloalkyl, Ph, HOCH2CH2; Q = CHR2, NHCH:CR3, C:NR3; R2 = H, C1-4alkyl, C1-4haloalkyl, C7-9aralkyl, phenoxymethyl; R3 = aryl, C1-4alkyl, C1-4haloalkyl, C1-4alkoxy, phenoxy, benzyloxy, cyano, oxydimethylene, naphthyl; m = 0, 1; Z = heterocycle comprising 1-4 nitrogen, or one nitrogen and one oxygen, or at least one nitrogen and one sulfur, NR4R5, OR6, S(O)n, P(:O)(OR8)2; R4 = H, C1-4alkyl, benzyl, Ph, tetrazol-5-yl-thiomethyl; R5 = formyl C1-4alkylcarbonyl, C1-4alkylsulfonyl, phenylsulfonyl; R6 = H, C1-4alkyl, C1-4haloalkyl, benzyl; R7 = C1-4alkyl, benzyl, Ph, tetrazol-5-yl, benzoyl; n = 0, 1, 2; R8 = C1-4alkyl,] are prepd. as microbicides. Title compds. are mixed with extenders and/or surface-active agents in microbicidal compns. and are applied to the microorganisms and/or to their habitat. Thus, the title compd. II was prepd. and biol. tested for spray effect against Pyricularia oryzae in seedling of paddy rice.

IT **352283-38-6P**
RL: AGR (Agricultural use); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. of isothiazolecarboxylic acid derivs. as microbicides)

RN 352283-38-6 CAPLUS

CN 3-Pyrazolidinone, 5-(4-chlorophenyl)-2-[(3,4-dichloro-5-isothiazolyl)carbonyl]-1-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 49 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:471183 CAPLUS

DOCUMENT NUMBER: 135:226925

TITLE: The synthesis and pharmacological activities of 1-isopropyl-2-formyl-3-aminopyrazolidines

AUTHOR(S): Mel'nikova, L. F.; Zelenin, K. N.; Lesiovskaya, E. E.; Bezhan, I. P.; Chakchir, B. A.

CORPORATE SOURCE: State Chemicopharmaceutical Academy, St. Petersburg, Russia

SOURCE: Pharmaceutical Chemistry Journal (Translation of Khimiko-Farmatsevticheskii Zhurnal) (2000), 34(11), 582-584
CODEN: PCJOAU; ISSN: 0091-150X

PUBLISHER: Consultants Bureau

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 135:226925

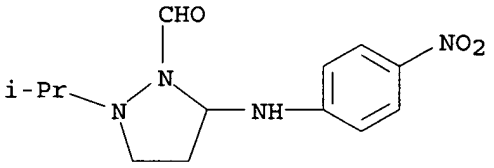
AB Title compds. were prepd. by amination of 1-isopropyl-2-formyl-3-

hydroxypyrazolidine with HNRR1 (R = Ph, C6H4OMe-4, C6H4NO2-4, p-tolyl, C6H4CO2Et-4, C6H4SO2NH2-4, CH2Ph, R1 = H; NRR1 = morpholino) in C6H6in 54-93% yields. Toxicity testing of the aminopyrazolidines showed that all except the compd. with NRR1 = NHC6H4NO2-4 3 had lower toxicity than ref. compd. Butadione, so 3 was excluded from further study. All remaining compds. were tested for anti-inflammatory, analgesic and antihypoxic activities. Most showed some analgesic activities, though less than that of Analgin; compd. 8 (NRR1 = morpholino) had both significant antiinflammatory activity and antihypoxic activity nearly as effective as ref. compd. Gutimine.

IT **358753-79-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(prepn. and toxicity of)

RN 358753-79-4 CAPLUS

CN 1-Pyrazolidinecarboxaldehyde, 2-(1-methylethyl)-5-[(4-nitrophenyl)amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 49 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:416942 CAPLUS

DOCUMENT NUMBER: 135:19660

TITLE: Preparation of pyrazolo[1,5-a]pyrimidines as potassium channel inhibitors

INVENTOR(S): Atwal, Karnail S.; Vaccaro, Wayne; Lloyd, John; Finlay, Heather; Yan, Lin; Bhandaru, Rao S.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 298 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001040231	A1	20010607	WO 2000-US32785	20001204

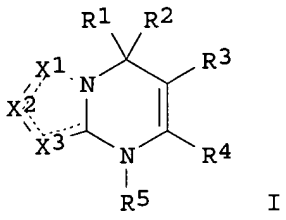
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1999-169091P P 19991206
US 2000-236037P P 20000928

OTHER SOURCE(S): MARPAT 135:19660

GI



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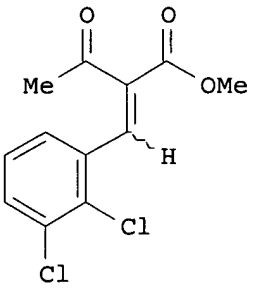
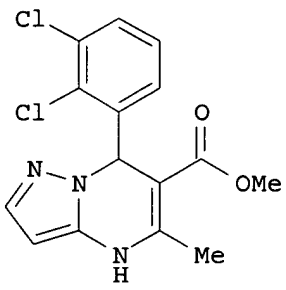


II



III

AB The title compds. [I; X1-X3 = N, NR6, (CR7)q, (CHR7)q, CO; R1-R7 = (CH2)n(Z1)m(CH2)pZ2; or R1-R5 may, in one or more pairs of two, together with the atoms to which they are bonded, form (un)substituted carbocyclic, heterocyclic group; or R6 and R7 may, together with the atoms to which they are bonded, form (un)substituted carbocyclic, heterocyclic group; Z1 = O, S, CO, etc.; Z2 = H, NO2, halo, etc.; n, p = 0-10 (when m = 0, p is also 0); m = 0-1; q = 1-3], useful as inhibitors of potassium channel function (esp. inhibitors of the Kv1 subfamily of voltage gated K+ channels, esp. inhibitors Kv1.5 which has been linked to the ultra-rapidly activating delayed rectifier K+ current IKur) in the prevention and treatment of arrhythmia and IKur-assocd. conditions, were prepd. Thus, reacting Me acetoacetate with 2,3-dichlorobenzaldehyde in the presence of piperidine and AcOH in PhMe followed by refluxing the resulting intermediate II with 3-aminopyrazole in 1-propanol afforded the title compd. III. The compds. I are effective at 0.001-100 mg/kg/day.

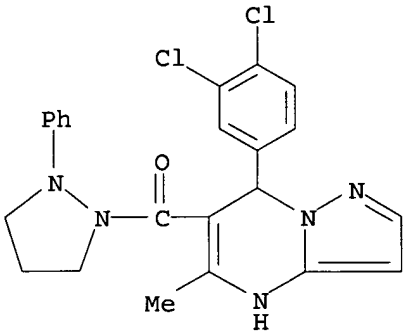
IT **343245-64-7P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)

(prepn. of pyrazolo[1,5-a]pyrimidines as potassium channel inhibitors)

RN 343245-64-7 CAPLUS

CN Pyrazolidine, 1-[[7-(3,4-dichlorophenyl)-4,7-dihydro-5-methylpyrazolo[1,5-a]pyrimidin-6-yl]carbonyl]-2-phenyl- (9CI) (CA INDEX NAME)

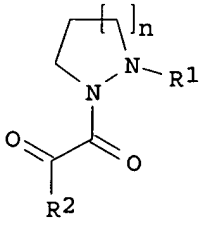


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 49 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:380557 CAPLUS
DOCUMENT NUMBER: 134:366884
TITLE: Preparation of N-substituted cyclic aza compounds having neuronal activity
INVENTOR(S): Wu, Yong-Qian; Huang, Wei; Hamilton, Gregory S.
PATENT ASSIGNEE(S): GPI Nil Holdings, Inc., USA
SOURCE: PCT Int. Appl., 105 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001036388	A1	20010525	WO 2000-US23603	20000828
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6417189	B1	20020709	US 2000-551618	20000417

PRIORITY APPLN. INFO.: US 1999-164950P P 19991112
US 2000-551618 A 20000417
OTHER SOURCE(S): MARPAT 134:366884
GI



I

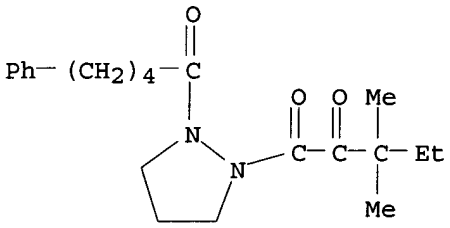
AB The title compds. [I; n = 1-3; R1 = CR3, CO2R3, COR3, etc.; R2, R3 = H,

alkyl, alkenyl, etc.; X = O, S], useful for effecting neuronal activities, were prepd. E.g., a multi-step synthesis of I [n = 2; R1 = CO2(CH2)4Ph; R2 = CMe2Et; X = O] was described. Biol. data for compds. I (results of test for rotamase inhibition and MPTP model of Parkinson's disease) were given.

IT **340255-68-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. of N-substituted cyclic aza compds. having neuronal activity)

RN 340255-68-7 CAPLUS

CN Pyrazolidine, 1-(3,3-dimethyl-1,2-dioxopentyl)-2-(1-oxo-5-phenylpentyl)-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 49 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:375005 CAPLUS

DOCUMENT NUMBER: 135:174862

TITLE: Tmolt4 leukemic type II isoform of IMP dehydrogenase as a target for 1,2,4-triazolidine-3,5-diones, 1-(1-(3-methylphenyl)ethylidineamino)-4,4-diethyl-3,5-azetidinediones, 3,5-isoxazolidinediones, and 4,4-disubstituted-3,5-pyrazolidinediones

AUTHOR(S): Hall, Iris H.; Barnes, Betty J.; Ward, E. Stacy; Wheaton, Jessica R.; Warren, Amy E.; Izydore, Robert A.

CORPORATE SOURCE: Division of Medicinal Chemistry, School of Pharmacy, University of North Carolina, Chapel Hill, NC, 27599-7360, USA

SOURCE: Archiv der Pharmazie (Weinheim, Germany) (2001), 334(4), 109-116
CODEN: ARPMAS; ISSN: 0365-6233

PUBLISHER: Wiley-VCH Verlag GmbH

DOCUMENT TYPE: Journal

LANGUAGE: English

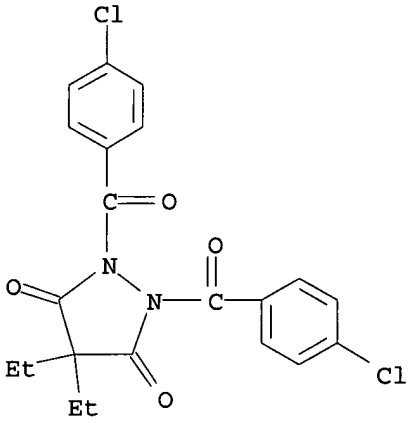
AB The 1,2,4-triazolidine-3,5-diones, 1-(1-(3-methylphenyl)ethylidineamino)-4,4-diethyl-3,5-azetidinediones, and 4,4-disubstituted-3,5-pyrazolidinediones proved to be potent competitive inhibitors of human Tmolt4 leukemia Type II IMP dehydrogenase [IMPDH] activity, an enzyme isoform which is induced in highly proliferating cells. On the other hand, the 3,5-isoxazolidinediones were shown to be uncompetitive inhibitors of Type II IMPDH activity. The correlation between inhibition of Type II IMPDH activity with the agents' ability to suppress DNA and purine syntheses in these Tmolt4 leukemia cell was pos. Type I IMPDH (i.e., the isoform that is present in normal cells) was not inhibited by these compds. suggesting that these agents would be less toxic to normal cells and have selective inhibition towards proliferating cells.

IT **62188-94-7**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); **BIOL (Biological**

study); USES (Uses)
(Tmolt4 leukemic type II isoform of IMP dehydrogenase as target for triazolidinediones, azetidinediones, isoxazolidinediones, and pyrazolidinediones)

RN 62188-94-7 CAPLUS

CN 3,5-Pyrazolidinedione, 1,2-bis(4-chlorobenzoyl)-4,4-diethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 49 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1999:729454 CAPLUS

DOCUMENT NUMBER: 132:58883

TITLE: Anti-inflammatory activity of 2-acyl-5(3)-hydroxytetrahydro-1H-pyrazole derivatives

AUTHOR(S): Zelenin, Kirill N.; Bezhan, Irina P.; Pastushenkov, Leonid V.; Gromova, Eleonora G.; Lesiovskaja, Elena E.; Chakchir, Boris A.; Melnikova, Larisa F.

CORPORATE SOURCE: Department of Chemistry of Military Medical Academy, Department of Pharmacology of St. Petersburg Chemico-Pharmaceutical Academy, St. Petersburg, Russia

SOURCE: Arzneimittel-Forschung (1999), 49(10), 843-848
CODEN: ARZNAD; ISSN: 0004-4172

PUBLISHER: Editio Cantor Verlag

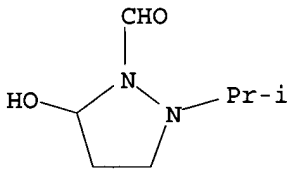
DOCUMENT TYPE: Journal

LANGUAGE: English

AB The anti-inflammatory effects of five pyrazolidine derivs. on white mice and lab. rats were studied using models of thermal aseptic inflammation and inflammation induced by injection of carrageenin and histamine, as well as models of "cotton-ball granuloma" and epinephrine (adrenaline)-induced pulmonary edema. These effects were compared with those of the most commonly used non-steroid anti-inflammatory drugs, such as phenylbutazone (CAS 50-33-9) and diclofenac (CAS 15307-79-6). It was found that the pyrazolidine compds. studied induced a pronounced anti-inflammatory effect by inhibiting both the proliferative and exudative phases of inflammation. At the same time, as compared to natural nonsteroid anti-inflammatory drugs, these compds. had a lower toxicity and induced neither gastric ulcers nor suppression of hemopoiesis.

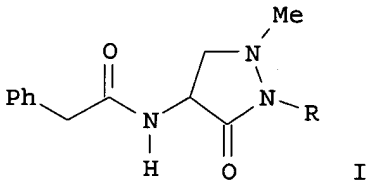
IT **124838-25-1P**
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); **BIOL (Biological study)** ; **BIOL (Biological study)**; PREP (Preparation); RACT (Reactant or

reagent); USES (Uses)
(antiinflammatory activity of hydroxytetrahydro-1H-pyrazole derivs.: comparison with NSAIDs)
RN 124838-25-1 CAPLUS
CN 1-Pyrazolidinecarboxaldehyde, 5-hydroxy-2-(1-methylethyl)- (9CI) (CA INDEX NAME)



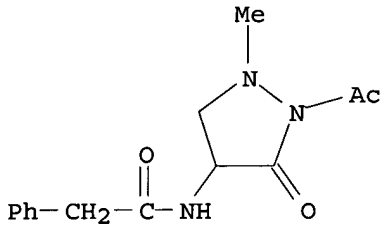
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 49 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:536685 CAPLUS
DOCUMENT NUMBER: 131:286441
TITLE: Synthesis of pyrazolidinone antibacterial agents
AUTHOR(S): Couloigner, Evanne; Cartier, Dominique; Labia, Roger
CORPORATE SOURCE: Chimie et Biologie de Substances Actives CNRS-UMR 175, Quimper, 29000, Fr.
SOURCE: Bioorganic & Medicinal Chemistry Letters (1999), 9(15), 2205-2206
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



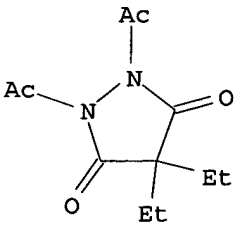
I

AB The monocyclic pyrazolidinones I (R = Bz, Ac, PhCH2CO, 4-HOC6H4CO, SO3-.Bu4N+) were prepd. from serine Me ester hydrochloride via successive acylation with PhCH2COCl, dehydration, and cyclization with methylhydrazine to give I (R = H) which was acylated to give the N-substituted target compds. Some I showed moderate antibacterial activity against Micrococcus luteus and/or Staphylococcus aureus.
IT **246535-26-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(prepn. and bactericidal activity of phenylacetamidopyrazolidinones)
RN 246535-26-2 CAPLUS
CN Benzeneacetamide, N-(2-acetyl-1-methyl-3-oxo-4-pyrazolidinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

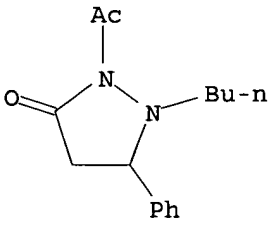
L4 ANSWER 14 OF 49 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:506383 CAPLUS
DOCUMENT NUMBER: 132:87992
TITLE: Hypolipidemic triazolidine-3,5-diones, 3,5-pyrazolidinediones, 3,5-isoxazolidinediones, 1,3,5-triazabicyclo[3.1.0.]hexane-2,4-diones as HMG-CoA reductase, ACAT, GPAT, and PP inhibitors and NCEH activators
AUTHOR(S): Hall, I. H.; Izydore, R. A.; Barnes, Betsy Jo; Wang, Fei; Warren, Amy E.; Barnes, Cheryl R.; Coleman, Dwayne E.; White, Camille; Frazier, Felicia E.
CORPORATE SOURCE: Division of Medicinal Chemistry and Natural Products, School of Pharmacy, University of North Carolina, Chapel Hill, NC, 27599-7360, USA
SOURCE: Recent Research Developments in Lipids Research (1997), 1, 297-304
CODEN: RRDLFL
PUBLISHER: Transworld Research Network
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Selected 1,2,4-triazolidine-3,5-diones, 3,5-pyrazolidinediones, 3,5-isoxazolidinediones, and 1,3,5-triazabicyclo-[3.1.0.]hexane-2,4-diones substituted on the nitrogens(s) of the adjacent ring heteroatoms (NN or NO) with benzoyl, alkyl and 2- and 3-oxoalkyl groups demonstrated improved hypolipidemic activity over previously evaluated derivs. in each compd. class and were effective inhibitors of HMG-CoA reductase, ACAT, GPAT and PP activities while elevating NCEH activity. These agents markedly reduced rat VLDL- and LDL-cholesterol content and raised HDL-cholesterol content within 14 days at 8 mg/kg/day, orally.
IT **6495-44-9**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); **BIOL (Biological study)**; USES (Uses)
(hypolipidemic triazolidine-3,5-diones and related compds.)
RN 6495-44-9 CAPLUS
CN 3,5-Pyrazolidinedione, 1,2-diacetyl-4,4-diethyl- (7CI, 8CI, 9CI) (CA INDEX NAME)



REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 49 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:326306 CAPLUS
DOCUMENT NUMBER: 131:31904
TITLE: Synthesis and anticonvulsant activity of 2-substituted-1-butyl-5-phenyl-3-pyrazolidinones
AUTHOR(S): Quan, Zheshan; Li, Yuanchun; Yu, Xiumei; Zhao, Liming; Yin, Xiumei
CORPORATE SOURCE: College of Pharmacy, Yanbian University, Yanji, 133000, Peop. Rep. China
SOURCE: Yanbian Daxue Xuebao, Ziran Kexueban (1999), 25(1), 33-36
CODEN: YXZKE8; ISSN: 1004-4353
PUBLISHER: Yanbian Daxue Xuebao Bianjibu
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
AB Five 3-pyrazolidinones were synthesized, and their anticonvulsant activities were studied. The results showed that all of the compds. had good anticonvulsant activities, and the activity of 2-cinnamyl-1-butyl-5-phenyl-3-pyrazolidinone was better than that of 1-butyl-5-phenyl-3-pyrazolidinone.
IT **227001-88-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(synthesis and anticonvulsant activity of 2-substituted-1-butyl-5-phenyl-3-pyrazolidinones)
RN 227001-88-9 CAPLUS
CN 3-Pyrazolidinone, 2-acetyl-1-butyl-5-phenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 16 OF 49 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:282093 CAPLUS
DOCUMENT NUMBER: 130:282371
TITLE: Preparation of azapeptide acids as cell adhesion inhibitors
INVENTOR(S): Delaszlo, Stephen E.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9920272	A1	19990429	WO 1998-US22008	19981019

W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT,

UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 6069163	A	20000530	US 1998-174631		19981016
AU 9913614	A1	19990510	AU 1999-13614		19981019
PRIORITY APPLN. INFO.:			US 1997-62874P	P	19971021
			US 1997-65763P	P	19971117
			GB 1997-24874	A	19971126
			WO 1998-US22008	W	19981019

OTHER SOURCE(S): MARPAT 130:282371

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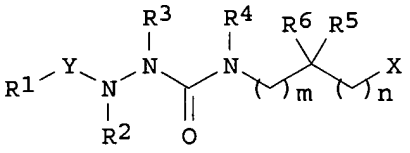

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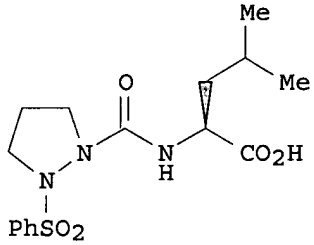


II

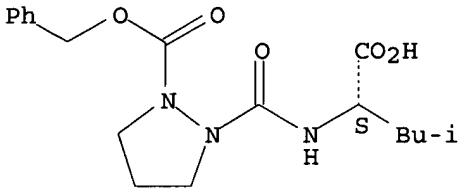
AB Azapeptide acids I [(un)substituted C1-10 alkyl, C2-10 alkenyl, C2-10 alkynyl, Cy, Cy-C1-10 alkyl, Cy-C2-10 alkenyl, Cy-C2-10 alkynyl; R2, R3 = independently H, any group R1; R2R3 form (un)substituted, optionally benzo-fused 4-7-membered heterocyclic ring; R5 = H, (un)substituted C1-10 alkyl, C2-10 alkenyl, C2-10 alkynyl, aryl, aryl-C1-10 alkyl, heteroaryl, heteroaryl-C1-10 alkyl; R6 = H, (un)substituted Ar1-Ar2-C1-10 alkyl, Ar1-Ar2-C2-10 alkenyl, Ar1-Ar2-C2-10 alkynyl, Ar1-C.tplbond.C-Ar2-C1-10 alkyl,Ar1-C2 alkenyl-Ar2-C1-10 alkyl, Ar1-Ar2, any group R1; X = CO2R8, P(O)(OR8)(OR9), SOmOR8, CONR9R10, 5-tetrazolyl, CONHSO2R11; R8, R9 = independently H, (un)substituted C1-10 alkyl, C2-10 alkenyl, C2-10 alkynyl, Cy, Cy-C1-10 alkyl; R10 = H, (un)substituted C1-10 alkyl, C2-10 alkenyl, C2-10 alkynyl, CN, aryl, , aryl-C1-10 alkyl, heteroaryl, heteroaryl-C1-10 alkyl, SO2R11; R11 = (un)substituted C1-10 alkyl, C2-10 alkenyl, C2-10 alkynyl, aryl; Y = CO, O2C, NR9CO, SO2, P(O)(OR8), COCO; Cy = cycloalkyl, heterocyclyl, aryl, heteroaryl; m = 0-2; n = 0-2], and pharmaceutically acceptable salts thereof, are antagonists of VLA-4 and/or .alpha.4.beta.7, and as such are useful in the inhibition or prevention of cell adhesion and cell-adhesion mediated pathologies. These compds. may be formulated into pharmaceutical compns. and are suitable for use in the treatment of asthma, allergies, inflammation, multiple sclerosis, and other inflammatory and autoimmune disorders. Thus, sequential coupling of 1-(benzyloxycarbonyl)pyrazolidine (prepn. given) with triphosgene and L-leucine tert-Bu ester, followed by hydrogenolysis, sulfonylation with PhSO2Cl, and acidic deesterification, gave desired free azapeptide II.

IT **222853-75-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. of azapeptide acids as cell adhesion inhibitors)

RN 222853-75-0 CAPLUS

CN 1-Pyrazolidinecarboxylic acid, 2-[[[(1S)-1-carboxy-3-methylbutyl]amino]carbonyl]-, 1-(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 49 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:816104 CAPLUS
DOCUMENT NUMBER: 130:66484
TITLE: Preparation of isoxazoline and isoxazole fibrinogen receptor antagonists
INVENTOR(S): Wityak, John; Xue, Chu-Biao; Sielecki-Dzurdz, Thais Motria; Olson, Richard Eric; Degrado, William Frank; Cain, Gary Avonn; Batt, Douglas Guy; Pinto, Donald; Hussain, Munir Alwan; Mousa, Shaker Ahmed
PATENT ASSIGNEE(S): The DuPont Merck Pharmaceutical Company, USA
SOURCE: U.S., 153 pp., Cont.-in-part of U.S. Ser. No. 337,920, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

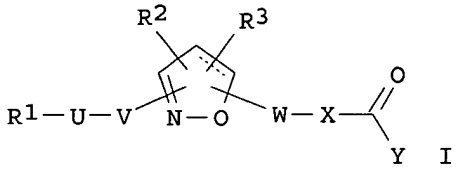
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5849736	A	19981215	US 1995-455436	19950531
CA 2174838	AA	19950601	CA 1994-2174838	19941114
HU 74690	A2	19970128	HU 1996-1414	19941114
EP 970950	A2	20000112	EP 1999-119541	19941114
EP 970950	A3	20000405		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
ES 2154326	T3	20010401	ES 1995-901915	19941114
IL 111721	A1	20000601	IL 1994-111721	19941121
ZA 9409337	A	19960524	ZA 1994-9337	19941124
CA 2222147	AA	19961205	CA 1996-2222147	19960530
WO 9638426	A1	19961205	WO 1996-US7692	19960530
W: AM, AT, AU, AZ, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, HU, JP, KG, KR, KZ, LT, LU, LV, MD, MX, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, TJ, TM, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9660243	A1	19961218	AU 1996-60243	19960530
AU 723577	B2	20000831		
EP 832076	A1	19980401	EP 1996-917833	19960530
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1202893	A	19981223	CN 1996-195931	19960530
JP 11504651	T2	19990427	JP 1996-536579	19960530
BR 9609151	A	19990629	BR 1996-9151	19960530
ZA 9604486	A	19971201	ZA 1996-4486	19960531
LT 4416	B	19981228	LT 1997-182	19971124
US 6114328	A	20000905	US 1997-978295	19971125
LV 12046	B	19980920	LV 1997-239	19971229

PRIORITY APPLN. INFO.:
US 1993-157598 B2 19931124
US 1994-232961 B2 19940422
US 1994-337920 B2 19941110

US 1994-337929 A2 19941110
EP 1995-901915 A3 19941114
US 1995-455436 A 19950531
WO 1996-US7692 W 19960530

OTHER SOURCE(S): MARPAT 130:66484

GI



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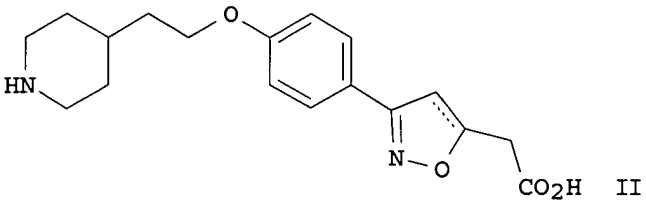


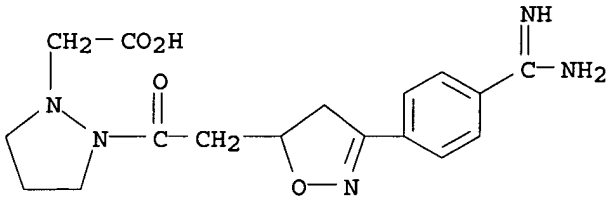
II

AB The invention relates to novel isoxazolines and isoxazoles which are useful as antagonists of the platelet glycoprotein IIb/IIIa fibrinogen receptor complex or the vitronectin receptor. The invention also relates to pharmaceutical compns. contg. the compds., processes for prepg. the compds., and to methods of using these compds., alone or in combination with other therapeutic agents, for the inhibition of platelet aggregation, as thrombolytics, and/or for the treatment of thromboembolic disorders. Such disorders include restenosis, atherosclerosis, stroke, myocardial infarction, and unstable angina. In particular, title compds. I are claimed [wherein: R1 = a variety of cyclic and/or acyclic N-contg. groups; R2 = H, alk(en/yn)yl, alkoxy, aryl, heteroaryl, CO2H or certain derivs.; R3 = H, OH, alky(en/yn)yl, alkoxy, alkoxycarbonyl, (un)substituted aryl or heterocyclyl, etc.; U = single bond, alk(en/yn)ylene; V = single bond, (un)substituted alk(en/yn)ylene, C6H4, pyridinediyl, pyridazinediyl; W = (un)substituted (CH2)nCONH or CONH(CH2)n; X = (un)substituted alkylene; Y = OH and derivs.]. For instance, 4-hydroxybenzaldehyde was etherified with 2-[N-(tert-butoxycarbonyl)piperidin-4-yl]ethanol by Mitsunobu reaction (70%), followed by oximation of the aldehyde with NH2OH (87%), chlorination of the oxime to give an oximinoyl chloride (52%), dipolar cycloaddn. of this with Me 3-butenoate (77%), sapon. of the Me ester (74%), and hydrolysis of the BOC group with CF3CO2H (TFA), to give title compd. II.TFA in 60% yield. II inhibited aggregation of human platelets in vitro, using a variety of agonists, with IC50 of < 10 .mu.M.

IT **185968-96-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. of novel isoxazoline and isoxazole fibrinogen receptor antagonists)

RN 185968-96-1 CAPLUS

CN 1-Pyrazolidineacetic acid, 2-[[3-[4-(aminoiminomethyl)phenyl]-4,5-dihydro-5-isoxazolyl]acetyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 58 THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 18 OF 49 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:424081 CAPLUS
DOCUMENT NUMBER: 129:81623
TITLE: Preparation of vinylpyrrolidine derivatives of cephalosporins with basic substituents
INVENTOR(S): Angehrn, Peter; Hebeisen, Paul; Heinze-Krauss, Ingrid; Page, Malcolm; Runtz, Valerie
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: Eur. Pat. Appl., 48 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 849269	A1	19980624	EP 1997-121833	19971211
EP 849269	B1	20020710		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TW 415949	B	20001221	TW 1997-86118048	19971201
US 5981519	A	19991109	US 1997-986549	19971208
CA 2224438	AA	19980619	CA 1997-2224438	19971210
ZA 9711214	A	19980619	ZA 1997-11214	19971212
NO 9705901	A	19980622	NO 1997-5901	19971216
BR 9705650	A	19990525	BR 1997-5650	19971217
AU 9748463	A1	19980625	AU 1997-48463	19971218
AU 729653	B2	20010208		
CN 1188112	A	19980722	CN 1997-120875	19971218
JP 10182657	A2	19980707	JP 1997-350413	19971219
JP 3264877	B2	20020311		
JP 2002060390	A2	20020226	JP 2001-158260	19971219
CN 1325850	A	20011212	CN 2000-133764	20001103
CN 1347882	A	20020508	CN 2000-133761	20001103
CN 1347883	A	20020508	CN 2000-133762	20001103
CN 1347884	A	20020508	CN 2000-133763	20001103

PRIORITY APPLN. INFO.: EP 1996-120472 A 19961219
EP 1997-119528 A 19971107
JP 1997-350413 A3 19971219
OTHER SOURCE(S): MARPAT 129:81623
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to cephalosporin derivs. I [X = CH or N; R1 = H, cyclopentyl; R2 = N-(R4)-azetidin-3-yl, R8, N-(R4),N'-(R4)-

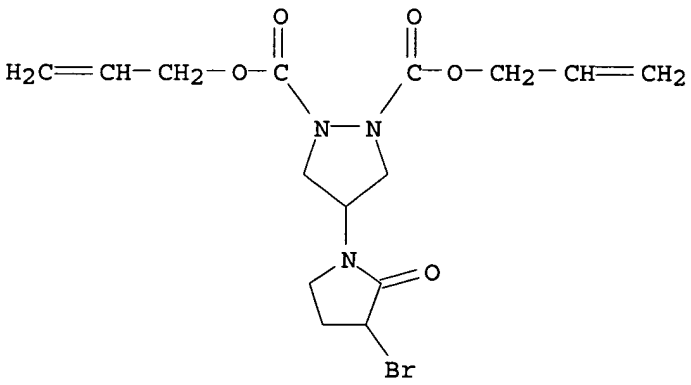
pyrazolidin-4-yl, (R)-N-(R4)-pyrrolidin-3-yl, (S)-N-(R4)-pyrrolidin-3-yl, (N-R4-azetidin-3-yl)methyl, R9, (N-R4,N'-R4-pyrazolidin-4-yl)methyl, (N-R4-piperidin-4-yl)methyl, 2-(4-R4-piperazin-1-yl)ethyl, (N-R4-pyrrolidin-2-yl)methyl, CH2C(NHR4):NH, CH2CH2NR4R7; R3 = H, alkali metal ion, tertiary ammonium group; R4 = H, amino protecting group, (pyrrolidin-2-yl)methyl, (azetidin-3-yl)methyl, iminomethyl, 1-carbamimidoyl; R5 = H, dialkylcarbamoyl, .omega.-hydroxyalkyl, .omega.-aminoalkyl, (pyridinium-1-yl)methyl, 1-hydroxy-3-aminomethyl-Pr or (hydroxy)(pyrrolidin-2-yl)methyl; R6 = H, trifluoromethyl or hydroxy; and R7 = alkyl, .omega.-hydroxy-alkyl, cycloalkyl, 3-pyrrolidinyl, 3-azetidinyl, iminomethyl, 1-carbamimidoyl] as well as readily hydrolyzable esters thereof, pharmaceutically acceptable salts of said compds. and hydrates of the aforementioned compds., to the manuf. of said compds.and to their use as pharmaceutically active substances, particularly for the treatment and prophylaxis of infectious diseases. Thus, II was prepd. via N-acylation of the trifluoroacetate of cephem III with iminothioacetate IV followed by deprotection. II was active in vitro [MIC = 0.5 .mu.g/mL vs. S. aureus 6538 (MSSA); MIC = 2 .mu.g/mL vs. S. aureus 743 (MRSA); MIC = 2 .mu.g/mL vs. S. aureus 270A (MRSA); MIC = 2 .mu.g/mL vs. P. aeruginosa ATCC27853] and in vivo [median log CFU = 4.72 in mice infected with S. aureus 270A (MRSA)].

IT **209467-97-0P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of vinylpyrrolidine derivs. of cephalosporins for treatment and prophylaxis of infectious diseases)

RN 209467-97-0 CAPLUS

CN 1,2-Pyrazolidinedicarboxylic acid, 4-(3-bromo-2-oxo-1-pyrrolidinyl)-, di-2-propenyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 19 OF 49 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1998:235664 CAPLUS

DOCUMENT NUMBER: 129:13872

TITLE: Influence of the amino acid sequence on the MUC5AC motif peptide O-glycosylation by human gastric UDP-GalNAc: polypeptide N-acetylgalactosaminyltransferase(s)

AUTHOR(S): Hennebicq, Sylviane; Tetaert, Daniel; Soudan, Benoit; Boersma, Arnold; Briand, Gilbert; Richet, Colette; Gagnon, Jean; Degand, Pierre

CORPORATE SOURCE: Unite INSERM N.degree. 377, Lille, 59045, Fr.

SOURCE: Glycoconjugate Journal (1998), 15(3), 275-282
CODEN: GLJOEW; ISSN: 0282-0080

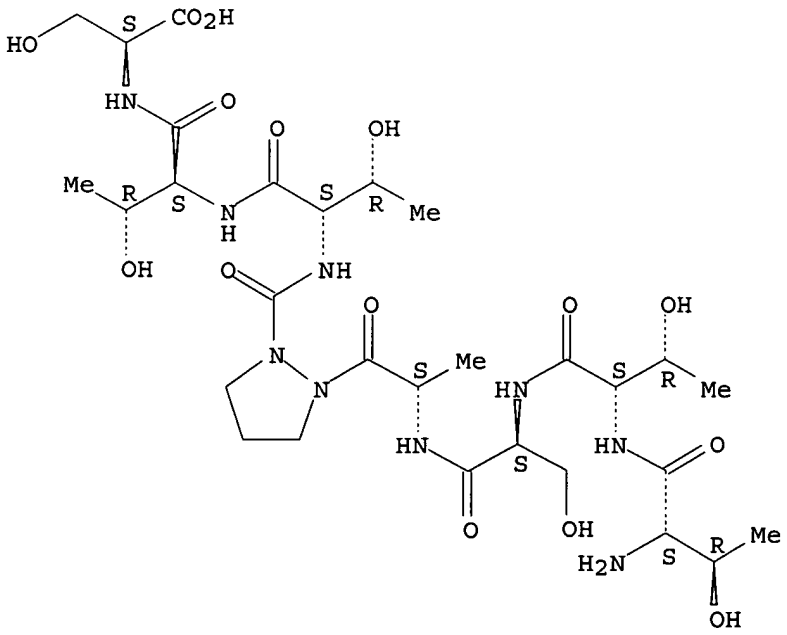
PUBLISHER: Chapman & Hall
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The present work was carried out to study the role of the peptide moiety in the addn. of O-linked N-acetylgalactosamine to human apomucin using human crude microsomal homogenates from gastric mucosa (as enzyme source) and a series of peptide acceptors representative of tandem repeat domains deduced from the MUC5AC mucin gene (expressed in the gastric mucosa). Being rich in threonine and serine placed in clusters, these peptides provided several potential sites for O-glycosylation. The glycosylated products were analyzed by a combination of electrospray mass spectrometry and capillary electrophoresis to isolate the glycopeptides and to det. their sequence by Edman degrdn. The O-glycosylation of the authors' MUC5AC motif peptides gave information on the specificity and activity of the gastric microsomal UDP-N-acetylgalactosamine: polypeptide N-acetylgalactosaminytransferase(s). The proline residues and the induced-conformations are of great importance for the recognition of MUC5AC peptides but they are not the only factors for the choice of the O-glycosylation sites. Moreover, for the di-glycosylated peptides, the flanking regions of the proline residues strongly influence the site of the second O-glycosylation.

IT **202869-27-0**
RL: BPR (Biological process); BSU (Biological study, unclassified); **BIOL (Biological study)**; PROC (Process)
(influence of the amino acid sequence on the MUC5AC motif peptide O-glycosylation by human gastric UDP-GalNAc polypeptide N-acetylgalactosaminyltransferase)

RN 202869-27-0 CAPLUS
CN L-Serine, L-threonyl-L-threonyl-L-seryl-L-alanyl-2-azaprolyl-L-threonyl-L-threonyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 20 OF 49 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:119586 CAPLUS
DOCUMENT NUMBER: 128:212663
TITLE: Dihydropyrancarboxamides Related to Zanamivir: A New Series of Inhibitors of Influenza Virus Sialidases. 1.

Discovery, Synthesis, Biological Activity, and Structure-Activity Relationships of 4-Guanidino- and 4-Amino-4H-pyran-6-carboxamides

AUTHOR(S): Smith, Paul W.; Sollis, Steven L.; Howes, Peter D.; Cherry, Peter C.; Starkey, Ian D.; Cobley, Kevin N.; Weston, Helen; Scicinski, Jan; Merritt, Andrew; Whittington, Andrew; Wyatt, Paul; Taylor, Neil; Green, Darren; Bethell, Richard; Madar, Safia; Fenton, Robert J.; Morley, Peter J.; Pateman, Tony; Beresford, Alan

CORPORATE SOURCE: Departments of Enzyme Medicinal Chemistry Core Combinatorial Group, Glaxo Wellcome Research and Development Limited Medicines Research Centre, Stevenage /Herts, SG1 2NY, UK

SOURCE: Journal of Medicinal Chemistry (1998), 41(6), 787-797
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

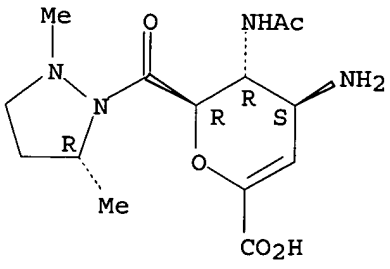
AB 4-Amino- and 4-guanidino-4H-pyran-6-carboxamides related to zanamivir (GG167) are a new class of inhibitors of influenza virus sialidases. Structure-activity studies reveal that, in general, secondary amides are weak inhibitors of both influenza A and B viral sialidases. However, tertiary amides, which contain one or more small alkyl groups, show much greater inhibitory activity, particularly against the influenza A virus enzyme. The sialidase inhibitory activities of these compds. correlate well with their in vitro antiviral efficacy, and several of the most potent analogs displayed useful antiviral activity in vivo when evaluated in a mouse model of influenza A virus infection. Carboxamides which were highly active sialidase inhibitors in vitro also showed good antiviral activity in the mouse efficacy model of influenza A infection when administered intranasally but displayed modest activity when delivered by the i.p. route.

IT **204197-10-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(prepn. and biol. activity and structure-activity relationships of guanidino- and amino-pyrancarboxamides related to zanamivir as influenza virus sialidase inhibitors)

RN 204197-10-4 CAPLUS

CN L-arabino-Hept-2-enonic acid, 5-(acetylamino)-4-amino-2,6-anhydro-3,4,5,7-tetradeoxy-7-[(5R)-2,5-dimethyl-1-pyrazolidinyl]-7-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 21 OF 49 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1998:81904 CAPLUS
DOCUMENT NUMBER: 128:167693

TITLE: Conformational disturbance induced by AzPro/Pro substitution in peptides

09/ 835,523

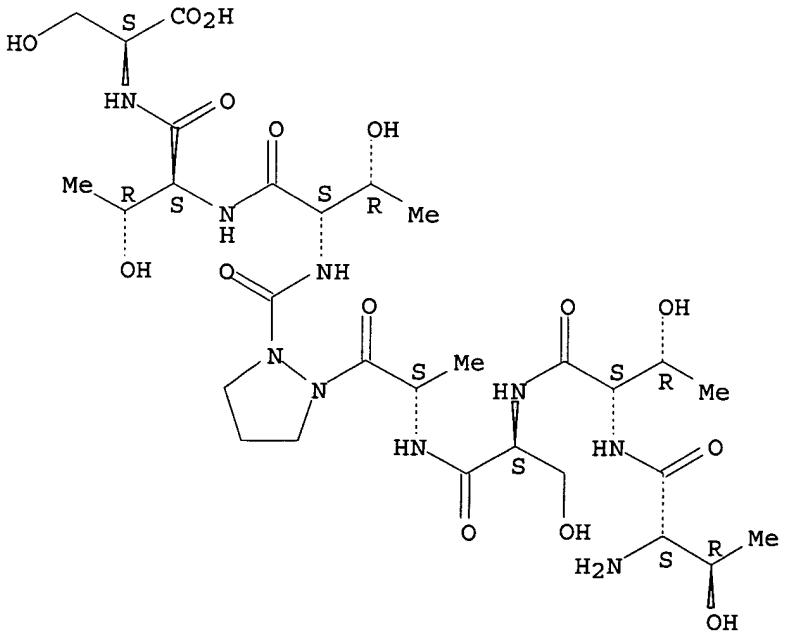
AUTHOR(S): Bac, Alain; Rivoal, Katell; Cung, Manh Thong; Boussard, Guy; Marraud, Michel; Soudan, Benoit; Tetaert, Daniel; Degand, Pierre
CORPORATE SOURCE: lab. Chimie Physique Macromoleculaire, ENSIC-INPL, Nancy, F-54001, Fr.
SOURCE: Letters in Peptide Science (1997), 4(4/5/6), 251-258
CODEN: LPSCEM; ISSN: 0929-5666
PUBLISHER: Kluwer Academic Publishers
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Consequences inherent to the substitution of aza-proline (AzPro) for proline in the octapeptide H-Thr-Thr-Ser-Ala-Pro-Thr-Thr-Ser-OH, representative of the tandem repeat motif present in the peptide backbone of MUC5AC mucin, were analyzed in terms of conformational perturbation and O-glycosylation aptitude. In DMSO soln., the same tendency previously noted in AzPro-tripeptide models was obsd., i.e. AzPro prevents .beta.-turn formation in which it would occupy the i+1 position, and therefore behaves quite opposite to Pro, whereas both AzPro and Pro can support a .beta.-turn in the i+2 position with a cis disposition of the preceding tertiary amide function. The former structural modifications do not prevent O-glycosylation to take place at the same specific site, but it occurs at a reduced rate.

IT **202869-27-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(effect of peptide azaproline substitution on .beta.-turn conformational preferences and glycosylation)

RN 202869-27-0 CAPLUS
CN L-Serine, L-threonyl-L-threonyl-L-seryl-L-alanyl-2-azaprolyl-L-threonyl-L-threonyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 22 OF 49 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:640839 CAPLUS
DOCUMENT NUMBER: 127:278468
TITLE: Azatide peptidomimetics

09/ 835,523

INVENTOR(S): Janda, Kim D.; Han, Hyunsoo
PATENT ASSIGNEE(S): Scripps Research Institute, USA; Janda, Kim D.; Han, Hyunsoo
SOURCE: PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

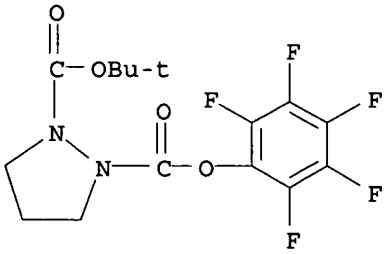
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9735199	A1	19970925	WO 1997-US4963	19970320
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9723473	A1	19971010	AU 1997-23473	19970320
AU 731387	B2	20010329		
EP 888543	A1	19990107	EP 1997-916241	19970320
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.: US 1996-13822P P 19960320
WO 1997-US4963 W 19970320
OTHER SOURCE(S): MARPAT 127:278468

AB Peptidomimetic azatides (aza-amino acids) and combinatorial oligoazatide libraries are produced by means of a stepwise synthesis. Thus, Tyra-Glya-Glya-Phea-Leua.2CF3CO2H (superscript a refers to an aza-amino acid linkage) was prepd. and studied in competition ELISA for anti-.beta.-endorphin monoclonal antibody.

IT **196873-66-2P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(azatide peptidomimetics)

RN 196873-66-2 CAPLUS
CN 1,2-Pyrazolidinedicarboxylic acid, 1,1-dimethylethyl pentafluorophenyl ester (9CI) (CA INDEX NAME)

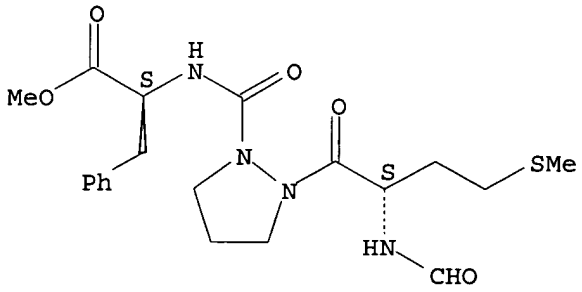


L4 ANSWER 23 OF 49 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:116093 CAPLUS
DOCUMENT NUMBER: 126:144540
TITLE: Chemotactic peptide analogs. Centrally constrained chemotactic N-formyltripeptides. Synthesis, conformation, and activity of two new analogs
AUTHOR(S): Pagani Zecchini, Giampiero; Paglialunga Paradisi, Mario; Torrini, Ines; Lucente, Gino; Mastropietro,

Gaia; Paci, Maurizio; Spisani, Susanna
CORPORATE SOURCE: Centro Studio Chimica Farmaco, Universita "La Sapienza", Rome, I-00185, Italy
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1996), 329(12), 517-523
CODEN: ARPMAS; ISSN: 0365-6233
PUBLISHER: VCH
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The fMLP-OMe analogs HCO-Met-azaPro-Phe-OMe (I) and HCO-Met-(.gamma.-lactam)-Phe-OMe (II) were synthesized and their CDCl3 soln. conformation and biol. activity were studied. The azapeptide I adopts .beta.-folded conformation with the azaPro residue at the i+2 position and an intramol. H bond involving the formylic O and the Phe NH. The .gamma.-lactam tripeptide II prefers a semi-extended backbone conformation. When tested on human neutrophils both the models were devoid of biol. activity. The role extended by the NH groups as well as by the conformational preferences is discussed.

IT **186696-50-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(synthesis and conformation of fMLP analogs without chemotactic activity)
RN 186696-50-4 CAPLUS
CN L-Phenylalanine, N-formyl-L-methionyl-2-azaprolyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L4 ANSWER 24 OF 49 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:105201 CAPLUS
DOCUMENT NUMBER: 126:117965
TITLE: Preparation of novel isoxazoline and isoxazole fibrinogen receptor antagonists
INVENTOR(S): Wityak, John; Cain, Gary Avonn; Batt, Douglas Guy; Pinto, Donald; Hussain, Munir Alwan; Xue, Chu-Biao; Sielecki-Dzurdz, Thais Motria; Olson, Richard Eric; Degrado, William Frank; Mousa, Shaker Ahmed
PATENT ASSIGNEE(S): Du Pont Merck Pharmaceutical Company, USA
SOURCE: PCT Int. Appl., 412 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9638426	A1	19961205	WO 1996-US7692	19960530

W: AM, AT, AU, AZ, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, HU, JP, KG, KR, KZ, LT, LU, LV, MD, MX, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, TJ, TM, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

US 5849736	A	19981215	US 1995-455436	19950531	
AU 9660243	A1	19961218	AU 1996-60243	19960530	
AU 723577	B2	20000831			
EP 832076	A1	19980401	EP 1996-917833	19960530	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
JP 11504651	T2	19990427	JP 1996-536579	19960530	
BR 9609151	A	19990629	BR 1996-9151	19960530	

PRIORITY APPLN. INFO.:

US 1995-455436	A	19950531
US 1993-157598	B2	19931124
US 1994-232961	B2	19940422
US 1994-337920	B2	19941110
WO 1996-US7692	W	19960530

OTHER SOURCE(S): MARPAT 126:117965

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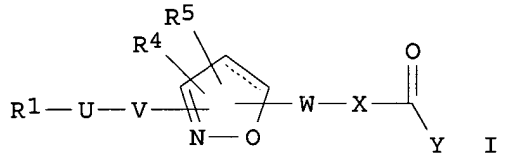

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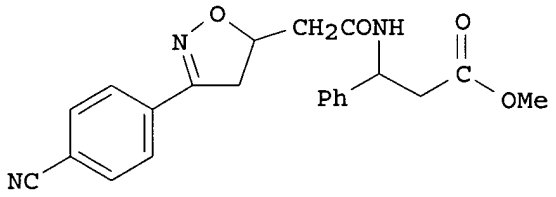
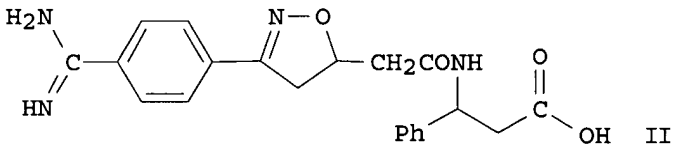


II



II

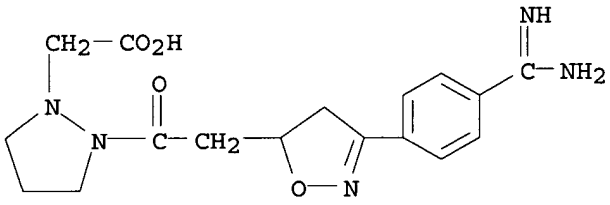
AB The title compds. [I; R1 = R2NR3(CH2)qZ- (wherein R2, R3 = H, C1-10 alkyl, C2-6 alkenyl, etc.; Z O, S, SO, SO2, etc.; q = 2-7), piperazinyl(CH2)qZ-, etc.; U = a single bond, C1-7 alkyl, C2-7 alkenyl, etc.; V = a single bond, (un)substituted C1-7 alkyl, etc.; W = a single bond, C1-7 alkyl, C2-7 alkenyl, etc.; X = a single bond, (un)substituted C1-7 alkyl, etc.; Y = OH, C1-10 alkoxy, etc.; R4 = H, C1-10 alkyl, C2-10 alkenyl, etc.; R5 = H, (un)substituted C1-10 alkyl, C2-10 alkenyl, etc.], useful alone or in combination with other therapeutic agents, for the inhibition of platelet aggregation, as thrombolytics, and/or for the treatment of thromboembolic disorders selected from, e.g. restenosis, atherosclerosis, stroke, myocardial infarction, and unstable angina, were prepd. and formulated. Thus, reaction of Me 3-(3-butenoyl)amino-3-phenylpropionate with 4-cyanobenzaldoxime in CH2Cl2 in the presence of 5% NaOCl (aq.) followed by treatment of the intermediate II in 10% DCM/MeOH with gaseous HCl, addn. of (NH4)2CO3 to the crude imidate in MeOH, and sapon. afforded III which showed IC50 of <10 .mu.M against platelet aggregation. Compds. I

are useful also for treating rheumatoid arthritis, asthma, allergies, organ transplantation rejection, septic shock, psoriasis, contact dermatitis, osteoporosis, osteoarthritis, tumor metastasis, diabetic retinopathy, and inflammatory conditions.

IT **185968-96-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. of novel isoxazoline and isoxazole fibrinogen receptor antagonists)

RN 185968-96-1 CAPLUS

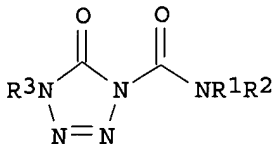
CN 1-Pyrazolidineacetic acid, 2-[[3-[4-(aminoiminomethyl)phenyl]-4,5-dihydro-5-isoxazolyl]acetyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 25 OF 49 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:262052 CAPLUS
DOCUMENT NUMBER: 124:289554
TITLE: Preparation of 5-oxo-2-tetrazoline-1-carboxamides as herbicides
INVENTOR(S): Goto, Toshio; Moriya, Koichi; Maurer, Fritz; Ito, Seishi; Wada, Katsuaki; Ukawa, Katzuhiko; Watanabe, Ryo; Ito, Asami; Minegishi, Natsuko
PATENT ASSIGNEE(S): Nihon Bayer Agrochem K.K., Japan
SOURCE: Eur. Pat. Appl., 100 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 695748	A1	19960207	EP 1995-111582	19950724
EP 695748	B1	19990506		
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL				
JP 08099975	A2	19960416	JP 1995-68837	19950303
AT 179707	E	19990515	AT 1995-111582	19950724
ES 2133622	T3	19990916	ES 1995-111582	19950724
US 5589439	A	19961231	US 1995-508776	19950728
CN 1122333	A	19960515	CN 1995-115848	19950804
CN 1058490	B	20001115		

PRIORITY APPLN. INFO.: JP 1994-202919 A 19940805
JP 1995-68837 A 19950303
OTHER SOURCE(S): MARPAT 124:289554
GI



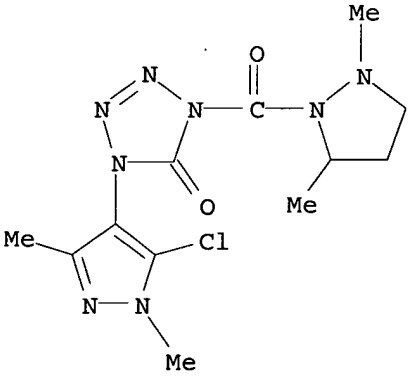
I

AB Title compds. [I; R1,R2 = (halo)alk(en)yl, alkoxy, Ph, etc.; NR1R2 = 5-membered heterocyclyl] were prepd. Thus, I (R1 = R2 = Et, R3 = 5-chloro-1,3-dimethyl-4-pyrazolyl) gave complete control of barnyard grass and wild amaranthus at 1.0kg/ha preemergent.

IT **175904-71-9P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. of 5-oxo-2-tetrazoline-1-carboxamides as herbicides)

RN 175904-71-9 CAPLUS

CN 5H-Tetrazol-5-one, 1-(5-chloro-1,3-dimethyl-1H-pyrazol-4-yl)-4-[(2,5-dimethyl-1-pyrazolidinyl)carbonyl]-1,4-dihydro- (9CI) (CA INDEX NAME)



L4 ANSWER 26 OF 49 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1996:12189 CAPLUS

DOCUMENT NUMBER: 124:176927

TITLE: Synthesis and evaluation of azaproline peptides as potential inhibitors of dipeptidyl peptidase IV and prolyl oligopeptidase

AUTHOR(S): Borloo, Marianne; Augustyns, Koen; Belyaev, Alexander; de Meester, Ingrid; Lambeir, Anne-Marie; Goossens, Filip; Bollaert, Willy; Rajan, Padinchare; Scharpe, Simon; Haemers, Achiel

CORPORATE SOURCE: Dep. Pharm. Sci., Univ. Antwerp, Antwerp, B-2610, Belg.

SOURCE: Lett. Pept. Sci. (1995), 2(3/4), 198-202
CODEN: LPSCEM; ISSN: 0929-5666

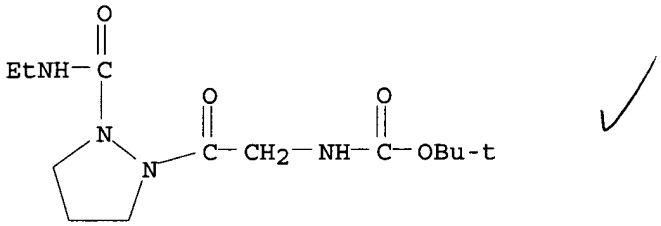
DOCUMENT TYPE: Journal

LANGUAGE: English

AB A series of azaproline dipeptides with various N-substituents were synthesized as possible active-site-directed inhibitors of two proline-sp. serine proteases, dipeptidyl peptidase IV and prolyl oligopeptidase. Compds. with semicarbazide, carbazate, acylhydrazine and sulfonylhydrazine structures were tested. Some compds. show moderate activity, i.e., in the millimolar range.

IT **174089-32-8P**
RL: BAC (Biological activity or effector, except adverse); RCT (Reactant);

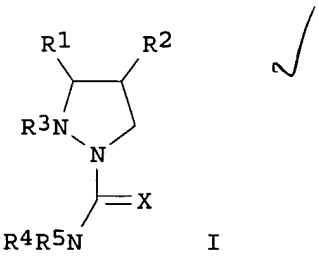
BIOL (Biological study); **BIOL (Biological study)**; PREP (Preparation)
(prepn. of azaproline peptides as dipeptidyl peptidase IV and prolyl oligopeptidase inhibitors)
RN 174089-32-8 CAPLUS
CN Carbamic acid, [2-[2-[(ethylamino)carbonyl]-1-pyrazolidinyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 27 OF 49 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:997019 CAPLUS
DOCUMENT NUMBER: 124:146148
TITLE: Preparation of tetrahydropyrazolecarboxanilides and related compounds as pesticides.
INVENTOR(S): Fuchs, Rainer; Erdelen, Christoph; Turberg, Andreas; Mencke, Norbert
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Ger. Offen., 36 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4416112	A1	19951109	DE 1994-4416112	19940506
WO 9530657	A1	19951116	WO 1995-EP1537	19950424
W: AU, BB, BG, BR, BY, CA, CN, CZ, FI, HU, JP, KR, KZ, LK, MX, NO, NZ, PL, RO, RU, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9523460	A1	19951129	AU 1995-23460	19950424

PRIORITY APPLN. INFO.: DE 1994-4416112 19940506
WO 1995-EP1537 19950424
OTHER SOURCE(S): MARPAT 124:146148
GI



I

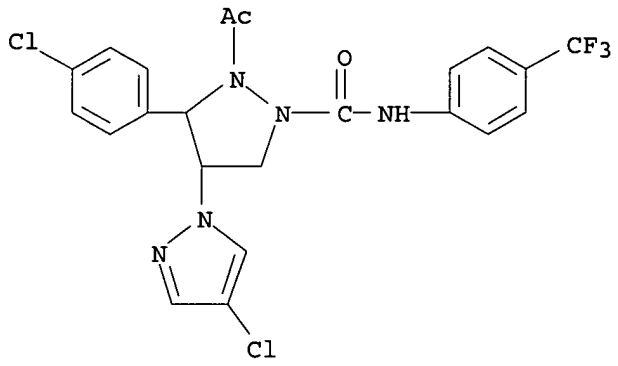
AB Title compds. [I; R1 = (substituted) aryl, heteroaryl; R2 = (substituted) (benzanellated) 5-6 membered heterocyclyl; R3, R4 = H, (halo-substituted)

alkyl, alkylcarbonyl, alkoxycarbonyl, alkylaminocarbonyl; R3R4 = CHR6, COCHR6, CO, COCO; R6 = H, alkyl; R5 = alkyl, cycloalkyl, (substituted) Ph], were prepd. Thus, 3-(4-chlorophenyl)-4-(1H-4-chloropyrazol-1-yl)-4,5-dihydro-1-pyrazolecarboxylic acid 4-trifluoromethoxyanilide in THF was treated with diisobutylaluminum hydride in hexane at -70.degree. to room temp. to give a cis/trans mixt. of 3-(4-chlorophenyl)-4-(1H-4-chloropyrazol-1-yl)-2,3,4,5-tetrahydro-1-pyrazolecarboxylic acid 4-trifluoromethoxyanilide. The latter at 1000 ppm on filter paper gave a 100% kill of Blatella germanica and Periplanetta americana.

IT **173089-54-8P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. of tetrahydropyrazoles as pesticides)

RN 173089-54-8 CAPLUS

CN 1-Pyrazolidinecarboxamide, 2-acetyl-3-(4-chlorophenyl)-4-(4-chloro-1H-pyrazol-1-yl)-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

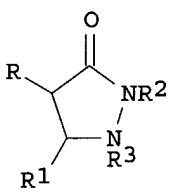


L4 ANSWER 28 OF 49 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:890010 CAPLUS
DOCUMENT NUMBER: 123:313949
TITLE: Pyrazolidinone CCK and gastrin antagonists and pharmaceutical formulations thereof
INVENTOR(S): Greenwood, Beverley; Helton, David R.; Howbert, J. Jeffry; Mitan, Steven J.; Rasmussen, Kurt
PATENT ASSIGNEE(S): Lilly, Eli, and Co., USA
SOURCE: U.S., 37 pp. Cont.-in-part of U.S. 5,300,519.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5399565	A	19950321	US 1993-151608	19931112
US 5300514	A	19940405	US 1993-33737	19930318
US 5643926	A	19970701	US 1994-183465	19940119

PRIORITY APPLN. INFO.: US 1990-553489 19900717
US 1991-737624 19910730
US 1992-982257 19921125
US 1993-33737 19930318

OTHER SOURCE(S): MARPAT 123:313949
GI



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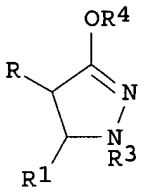

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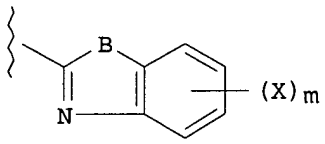


III

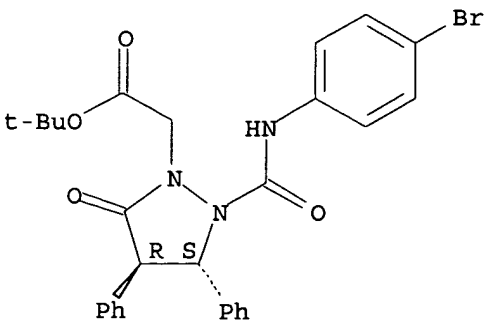
AB Novel substituted pyrazolidinones I or II [R and R1 are independently hydrogen, C1-C6 alkyl, Ph, benzyl, naphthyl, pyridyl or substituted Ph having 1, 2, or 3 substituents selected from the group consisting of, e.g., C1-C6 alkyl, C1-C6 alkoxy, C1-C6 alkylthio; R2 is hydrogen, C1-C6 alkyl, carboxymethyl, C1-C4 alkoxycarbonylmethyl or a group of the formula CO(A)tY wherein t is 1 or 0; A is CH2, O, NH or N(C1-C6 alkyl); and Y is Ph or substituted Ph as defined above; R4 is C1-C6 alkyl, carboxymethyl, or C1-C4 alkoxycarbonylmethyl; R3 is hydrogen or a group of the formula III or C(:B)(Q)nR5 wherein B is O or S; X is selected from the Ph substituents defined above; m is 0, 1 or 2; n is 0 or 1; Q is NH, N(C1-C6 alkyl), S, or O; and R5 is a group of the formula [CH(R6)]q(CH2)rR7 wherein R6 is hydrogen or C1-C6 alkyl; q is 0 or 1; r is 0, 1 or 2; and R7 is hydrogen, C1-C8 alkyl, C3-C8 cycloalkyl, pentafluorophenyl, pyridyl, tetrahydro-naphthyl, indolyl, quinolinyl, Ph, naphthyl, or Ph or naphthyl substituted with 1, 2 or 3 substituents] have been found to exhibit significant binding to cholecystokinin (CCK) receptors and gastrin receptors in the brain and/or peripheral sites such as the pancreas, stomach, and ileum. The pyrazolidinones are CCK and gastrin receptor antagonists and find therapeutic application in the treatment of gastrointestinal disorders, central nervous system disorders and for appetite regulation in warm-blood vertebrates. Pharmaceutical formulations for such indications are described. Thus, e.g., reaction of 4,5-diphenyl-3-pyrazolidinone with 4-chloro-3-trifluoromethylphenyl isocyanate afforded 85% 1-[(4-chloro-3-trifluoromethylphenyl)aminocarbonyl]-4,5-diphenyl-3-pyrazolidinone I (R3 = 4-Cl-3-CF3C6H3NHCO, R = R1 = Ph, R2 = H) which was evaluated for CCK and gastrin receptor binding: IC50 (.mu.M) for CCK receptor binding in brain and pancreas = 0.022 and 0.19, resp.; IC50 (.mu.M) for gastrin receptor binding = 0.15.

IT **169671-89-0P**
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); RCT (Reactant); SPN (Synthetic preparation); **BIOL (Biological study)**; **BIOL (Biological study)**; PREP (Preparation); PROC (Process); USES (Uses)
(prepn. of pyrazolidinones as CCK and gastrin receptor antagonists)

RN 169671-89-0 CAPLUS

CN 1-Pyrazolidineacetic acid, 2-[[(4-bromophenyl)amino]carbonyl]-5-oxo-3,4-diphenyl-, 1,1-dimethylethyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 29 OF 49 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:819749 CAPLUS
DOCUMENT NUMBER: 123:275621
TITLE: Pulmonary antiallergic and antiinflammatory effects of a novel, orally-active phosphodiesterase IV inhibitor (WAY-127093B) in guinea pigs and rats
AUTHOR(S): Howell, R. E.; Woeppel, S. L.; Howell, D. E.; Rubin, E. B.; Jenkins, L. P.; Golankiewicz, J. M.; Lombardo, L. J.; Heaslip, R. J.
CORPORATE SOURCE: Wyeth-Ayerst Research, Princeton, NJ, 08543-8000, USA
SOURCE: Inflammation Res. (1995), 44(Suppl. 2), S172-S173
CODEN: INREFB; ISSN: 1023-3830
DOCUMENT TYPE: Journal
LANGUAGE: English

AB WAY-127093B was less potent than rolipram but more potent than aminophylline in inhibiting antigen-induced bronchoconstriction in guinea pigs. It was more potent than rolipram in inhibiting antigen-induced airway eosinophilia in guinea pigs. It was as effective as rolipram and more potent than aminophylline in inhibiting antigen-induced airway eosinophilia in Brown Norway rats. In conclusion, WAY-127093B is a very potent and selective phosphodiesterase IV inhibitor with sufficient oral potency and efficacy in several animal models of asthma to suggest usefulness for the treatment of asthma.

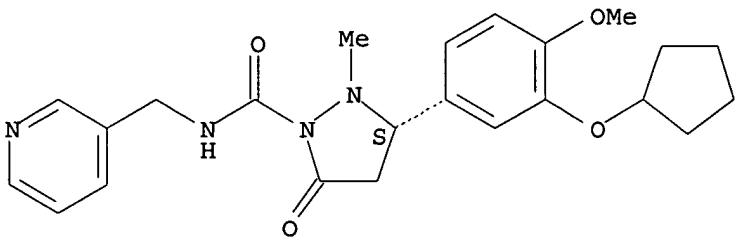
IT **169626-45-3**, WAY 127093B
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); **BIOL (Biological study)**; USES (Uses)
(pulmonary antiallergic and antiinflammatory effects of phosphodiesterase IV inhibitor WAY-127093B)

RN 169626-45-3 CAPLUS
CN 1-Pyrazolidinecarboxamide, 3-[3-(cyclopentyloxy)-4-methoxyphenyl]-2-methyl-5-oxo-N-(3-pyridinylmethyl)-, (3S)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 169626-44-2
CMF C23 H28 N4 O4
CDES 1:S

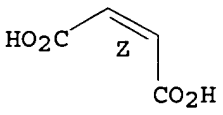
Absolute stereochemistry.



CM 2

CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

Double bond geometry as shown.

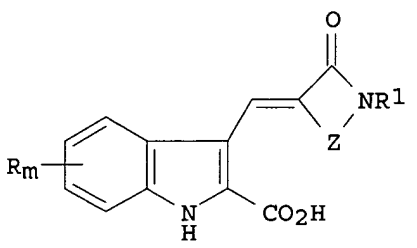


L4 ANSWER 30 OF 49 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:758721 CAPLUS
DOCUMENT NUMBER: 123:143635
TITLE: Preparation of 3-(azaoxocycloalkylidenemethyl)indole-2-carboxylates as NMDA antagonists
INVENTOR(S): Cugola, Alfredo; Di Fabio, Romano; Pentassuglia, Giorgio
PATENT ASSIGNEE(S): Glaxo SPA, Italy
SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

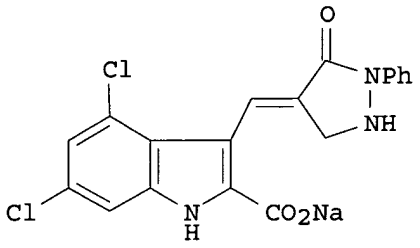
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9510517	A1	19950420	WO 1994-EP3359	19941012
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2171449	AA	19950420	CA 1994-2171449	19941012
AU 9478133	A1	19950504	AU 1994-78133	19941012
AU 681194	B2	19970821		
ZA 9407948	A	19950523	ZA 1994-7948	19941012
EP 723541	A1	19960731	EP 1994-928893	19941012
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1133042	A	19961009	CN 1994-193759	19941012
CN 1070490	B	20010905		
JP 09503770	T2	19970415	JP 1994-511283	19941012
HU 76065	A2	19970630	HU 1996-969	19941012
HU 219710	B	20010628		
RU 2144535	C1	20000120	RU 1996-108920	19941012
PL 179568	B1	20000929	PL 1994-313969	19941012
IL 111294	A1	19981206	IL 1994-111294	19941013
US 5760059	A	19980602	US 1996-619510	19960329
FI 9601628	A	19960412	FI 1996-1628	19960412
NO 9601475	A	19960412	NO 1996-1475	19960412
US 5962496	A	19991005	US 1998-86522	19980529
US 6100289	A	20000808	US 1999-374982	19990816

PRIORITY APPLN. INFO.:
GB 1993-21221 A 19931014
WO 1994-EP3359 W 19941012
US 1996-619510 A1 19960329
US 1998-86522 A1 19980529

OTHER SOURCE(S): MARPAT 123:143635
GI



I



II

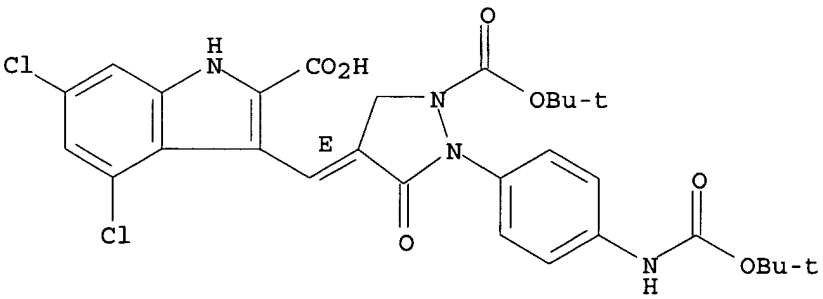
AB Title compds. [I; R = halo, alkyl, alkoxy, NH2, etc.; R1 = (bridged)cycloalkyl, -heterocyclyl, Ph, etc.; Z = alkylene, (CH2)pY(CH2)q; Y = O, SO0-2, NR3; R3 = H, alkyl, N-protective group; m = 0-2; p,q = 0-3; p+q = 1-3] were prepd. Thus, 3,5-Cl2C6H3NHNH2 was condensed with MeCOCO2Et and the product cyclized to give, after formylation and N-protection, Et 4,6-dichloro-3-formyl-1-tert-butoxycarbonyl-1H-indole-2-carboxylate which was condensed with 1-tert-butoxycarbonyl-2-phenylpyrazolidin-3-one to give, in 2 addnl. steps, title compd. II. The latter had ED50 of 1.70mg/kg orally for inhibition of NMDA-induced convulsions in mice.

IT **166974-29-4P**
RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); **BIOL (Biological study)**; **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. of 3-(azaoxocycloalkylidenemethyl)indole-2-carboxylates as NMDA antagonists)

RN 166974-29-4 CAPLUS

CN 1H-Indole-2-carboxylic acid, 4,6-dichloro-3-[[1-[(1,1-dimethylethoxy)carbonyl]-2-[4-[[(1,1-dimethylethoxy)carbonyl]amino]phenyl]-3-oxo-4-pyrazolidinylidene]methyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L4 ANSWER 31 OF 49 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1995:625462 CAPLUS

DOCUMENT NUMBER: 123:74308

TITLE: The cytotoxic activity of 1-acyl- and 1,2-diacyl-4,4-diethyl-3,5- pyrazolidinediones

AUTHOR(S): Hall, Iris H.; Izydore, Robert A.; Vital, Tywanna S.; Chen, S. Y.; Miller, Merril C. III; Bernal-Ramirez, Juan A.; Okwisa, Winfred A.; Rajendran, K. G.

CORPORATE SOURCE: School Pharmacy, University North Carolina, Chapel Hill, NC, 27599-7360, USA

SOURCE: Anticancer Res. (1995), 15(1), 199-204
CODEN: ANTRD4; ISSN: 0250-7005

DOCUMENT TYPE: Journal

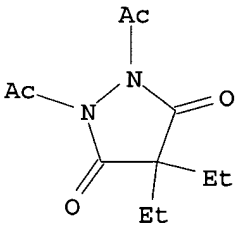
LANGUAGE: English

AB The 1-acyl- and 1,2-diacyl-4,4-diethyl-3,5-pyrazolinediones proved to be cytotoxic against the growth of a no. of cell lines, including murine and human leukemias, HeLa suspended carcinoma, colon adenocarcinoma SW480, KB nasopharynx and glioma tumors. Selected compds. were also active in the human lung bronchogenic MB-9812, and osteosarcoma TE418 screens. These derivs. were active in vivo in the Ehrlich ascites carcinoma screen in CF-1 mice at 8 mg/kg/day i.p. The mode of action in Tmolt3 leukemia cells showed that the compds. reduced de novo synthesis of purines and pyrimidines and inhibited dihydrofolate reductase and ribonucleoside reductase activities. The DNA mol. was not a target although limited DNA strand scission may be possible.

IT **6495-44-9**
RL: BAC (Biological activity or effector, except adverse); **BIOL (Biological study)**
((di)acyl diethylpyrazolidinediones cytotoxic activity against tumor cell lines)

RN 6495-44-9 CAPLUS

CN 3,5-Pyrazolidinedione, 1,2-diacetyl-4,4-diethyl- (7CI, 8CI, 9CI) (CA INDEX NAME)



L4 ANSWER 32 OF 49 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1993:246944 CAPLUS

DOCUMENT NUMBER: 118:246944

TITLE: Hypolipidemic activity of 1-acyl- and 1,2-diacyl-3,5-pyrazolidinediones

AUTHOR(S): Izydore, R. A.; Bernal-Ramirez, J. A.; Okwisa, W. A.; Yarborough, Lisa V.; Wong, O. T.; Hall, Iris H.

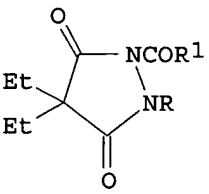
CORPORATE SOURCE: Dep. Chem., North Carolina Cent. Univ., Durham, NC, USA

SOURCE: Pharmazie (1993), 48(2), 111-17
CODEN: PHARAT; ISSN: 0031-7144

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I, R=H

II, R=COR2

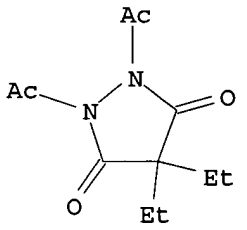
AB A series of substituted 1-acyl- (I, R1 = e.g., H, Ac, EtCO, PhCO, CH2ClCO) and 1,2-diacyl-3,5-pyrazolidinediones (II, R1 and R2 = e.g., H, Ac, EtCO,

PrCO, BuCO) had hypolipidemic properties lowering both serum cholesterol and triglyceride levels in rodents. For optimal activity of the pyrazolidinediones, both nitrogen atoms of the ring needed to be substituted preferentially with MeCO group. This compd. lowered very low d.-lipoproteins but did not elevate high d.-lipoprotein cholesterol content in rats.

IT **6495-44-9**
RL: BAC (Biological activity or effector, except adverse); **BIOL (Biological study)**; **BIOL (Biological study)**
(hypolipidemic activity of)

RN 6495-44-9 CAPLUS

CN 3,5-Pyrazolidinedione, 1,2-diacetyl-4,4-diethyl- (7CI, 8CI, 9CI) (CA INDEX NAME)



L4 ANSWER 33 OF 49 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1992:571451 CAPLUS

DOCUMENT NUMBER: 117:171451

TITLE: Preparation of pesticidal N-aryl-3-aryl-4-substituted-2,3,4,5-tetrahydro-1H-pyrazole-1-carboxamides and arylpyrazolotriazoles

INVENTOR(S): Jacobson, Richard Martin

PATENT ASSIGNEE(S): Rohm and Haas Co., USA

SOURCE: Eur. Pat. Appl., 32 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

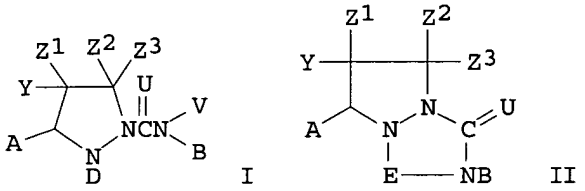
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 490569	A1	19920617	EP 1991-311280	19911204
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 5109014	A	19920428	US 1990-624808	19901210

PRIORITY APPLN. INFO.: US 1990-624808 A 19901210
US 1991-785138 A 19911030

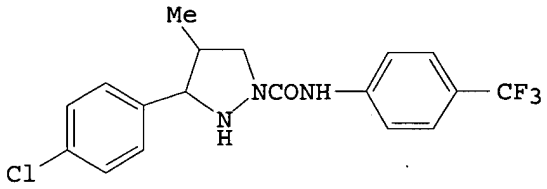
OTHER SOURCE(S): MARPAT 117:171451

GI



I

II



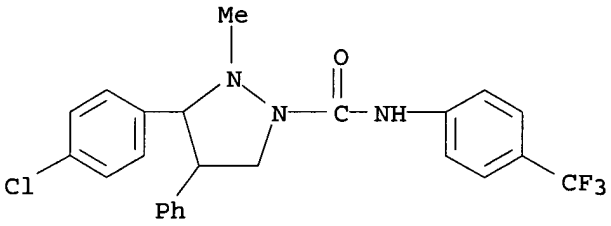
III

AB The title compds. I [A, B = aryl, arom. heterocyclyl; U = O, S; V = H, (un)etherified alkyl, CHO, acyl, carbamyl, alkoxycarbonyl, phenoxycarbonyl, alkoxy, alkylthio, PhS, PhO, alkylsulfonyl; D = H, alkyl, acyl, alkoxycarbonyl, alkylsulfonyl; Y = (un)substituted alkyl or NH2, acyl, CHO, carbamyl, heterocyclyl, isocyano, isothiocyanato, PhO, PhS, alkoxy, alkylthio, alkylsulfonyl; Z1-Z3 = H, alkyl] and II [A, B, U, Y, Z1-Z3 = same; E = C1-C6-alkylidene, carbonyl, dicarbonyl, carbonylalkylidene] were prepd. Thus arylpyrazolecarboxamide III was prepd. by hydride redn. of the 4,5-dihydropyrazole. At 600 ppm III was 100% effective against Epilachna varivestis and Spodoptera eridania.

IT **142404-18-0P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. and pesticidal activity of)

RN 142404-18-0 CAPLUS

CN 1-Pyrazolidinecarboxamide, 3-(4-chlorophenyl)-2-methyl-4-phenyl-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 34 OF 49 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1992:469860 CAPLUS

DOCUMENT NUMBER: 117:69860

TITLE: Preparation of N-aryl-3-aryl-4-substituted-2,3,4,5-tetrahydro-1H-pyrazole-1-carboxamides as insecticides

INVENTOR(S): Jacobson, Richard M.

PATENT ASSIGNEE(S): USA

SOURCE: U.S., 19 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

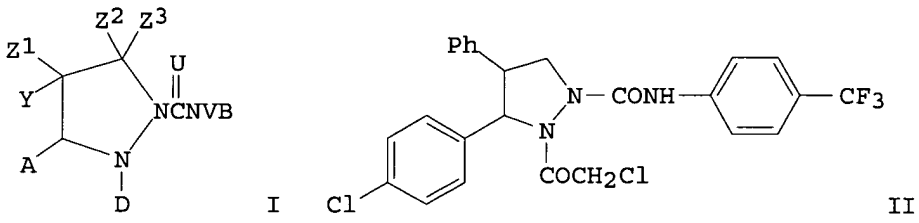
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5109014	A	19920428	US 1990-624808	19901210
CA 2056018	AA	19920611	CA 1991-2056018	19911122
EP 490569	A1	19920617	EP 1991-311280	19911204
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AU 9188913	A1	19920611	AU 1991-88913	19911206
AU 654513	B2	19941110		
ZA 9109642	A	19920826	ZA 1991-9642	19911206
IL 100294	A1	19960514	IL 1991-100294	19911209
HU 59672	A2	19920629	HU 1991-3877	19911210
BR 9105306	A	19920818	BR 1991-5306	19911210
JP 04290874	A2	19921015	JP 1991-325886	19911210
JP 3058498	B2	20000704		
US 5256670	A	19931026	US 1992-969547	19921030

PRIORITY APPLN. INFO.: US 1990-624808 A 19901210
US 1991-785138 A 19911030

OTHER SOURCE(S): MARPAT 117:69860

GI

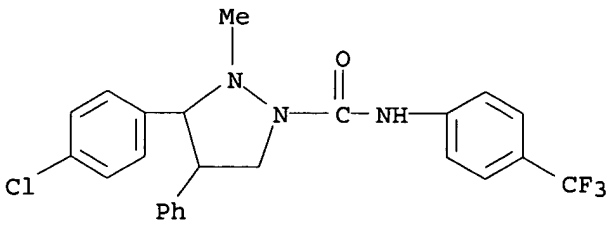


AB Title compds. I [A,B = (hetero)aryl; U = O, S; V = H, (substituted)alkyl, formyl, alkanoyl, CO2H, alkoxycarbonyl, PhOCO, alkoxy, alkylthio, PhS, etc.; D = H, alkoxycarbonyl, alkylsulfonyl, alkanoyl, alkyl; or DV = E; E = alkylene, CO, COCO, oxoalkylene; Y = Ph, alkyl, (substituted) alkyl, (substituted) alkenyl, CHO, alkanoyl, PhCO, (substituted) aminocarbonyl, etc.; Z1-Z3 = H, alkyl] were prepd. as pesticides. Thus, N-(4-trifluoromethylphenyl)-3-(4-chlorophenyl)-4-phenyl-4,5-dihydro-1H-pyrazole-1-carboxamide was reduced to the tetrahydropyrazole deriv. by (Me2CH)2AlH, then N-acetylted by ClCH2COCl to give title compd. II. II was effective as an insecticide, giving 100% control of Epilachna varivestis and Spodoptera eridania at 600 ppm.

IT **142404-18-0P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. of, as insecticide)

RN 142404-18-0 CAPLUS

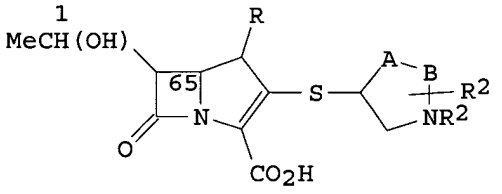
CN 1-Pyrazolidinecarboxamide, 3-(4-chlorophenyl)-2-methyl-4-phenyl-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 35 OF 49 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1992:6336 CAPLUS
DOCUMENT NUMBER: 116:6336
TITLE: Preparation of new carbapenem derivatives as antibacterial agents
INVENTOR(S): Nakagawa, Susumu; Otake, Norikazu; Yamada, Koji; Ushijima, Ryosuke
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 109 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9109860	A1	19910711	WO 1990-JP1720	19901227
W: CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				

PRIORITY APPLN. INFO.: JP 1989-342694 19891228
JP 1990-90011 19900404
JP 1990-104249 19900418
OTHER SOURCE(S): MARPAT 116:6336
GI



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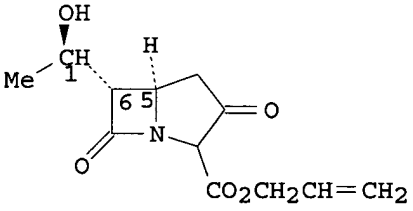
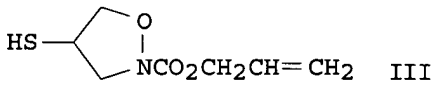
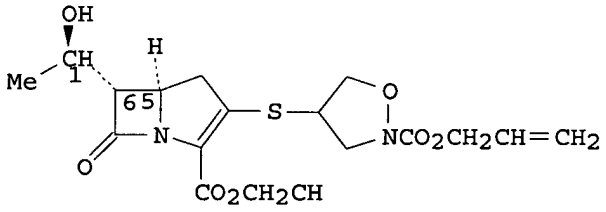


II



III



V

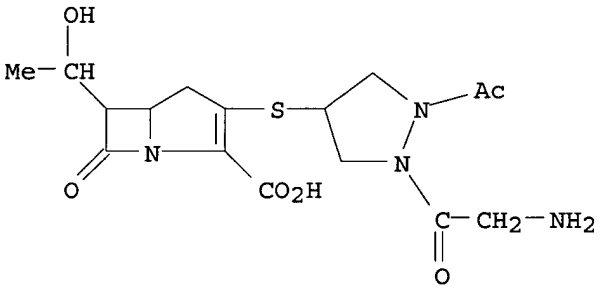
AB Carbapenem derivs. [I; R = H, Me; R1 = H, alkyl, acyl, formimidoyl, etc.; R2 = H, hydroxyalkyl, etc.; A = CH2, CO; B = O, NR3 whereas R3 = H, alkyl, acyl, etc] are prepd. (Me2CH)2NEt and (PhO)2POCl were added to a soln. of (1R,5R,6S)-II in MeCN with stirring at 0.degree. under N, followed by a soln. of thiol III in MeCN at 0.degree. with stirring, and the soln. was

worked up to give 76.6% diester (1R,5R,6R)-IV, which was treated with Ph3P, Bu3SnH, and (Ph3P)4Pd under N, and the soln. was stirred with 0.5M K 2-ethylhexanoate and EtOAc to give 48.8% (1R,5R,6S)-I.K (R = R1 = R2 = H, A = CH2, B = O)(V). V showed MIC 10.7 times that of thienamycin against Escherichia coli and 4.97 times against Klebsiella.

IT **136321-53-4P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(prepn. of, as antibacterial agent)

RN 136321-53-4 CAPLUS

CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 3-[[2-acetyl-1-(aminoacetyl)-4-pyrazolidinyl]thio]-6-(1-hydroxyethyl)-7-oxo-, monosodium salt (9CI) (CA INDEX NAME)



L4 ANSWER 36 OF 49 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1991:514262 CAPLUS
DOCUMENT NUMBER: 115:114262
TITLE: Preparation of cephalosporin derivatives as antibacterials
INVENTOR(S): Tanaka, Kiyoshi; Komatsu, Miwako; Egawa, Hiroyuki; Moriyama, Keiko; Watanabe, Yasuo; Momoi, Kaishu
PATENT ASSIGNEE(S): Toyama Chemical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 29 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03081280	A2	19910405	JP 1989-215487	19890822
JP 2975949	B2	19991110		

OTHER SOURCE(S): MARPAT 115:114262
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Cephalosporin derivs. [I; R1 = H, acyl, protecting group; R2 = (protected) CO2H, CO2-; R3 = H, HCONH, alkylthio, alkoxy; A = C1-6 hydrocarbyl residue; B = (substituted) N-heterocyclyl, NR4R5 wherein R4, R5 = H, (substituted) alkyl, alkenyl, alkynyl, aryl, heterocyclyl, etc.; n = 0,

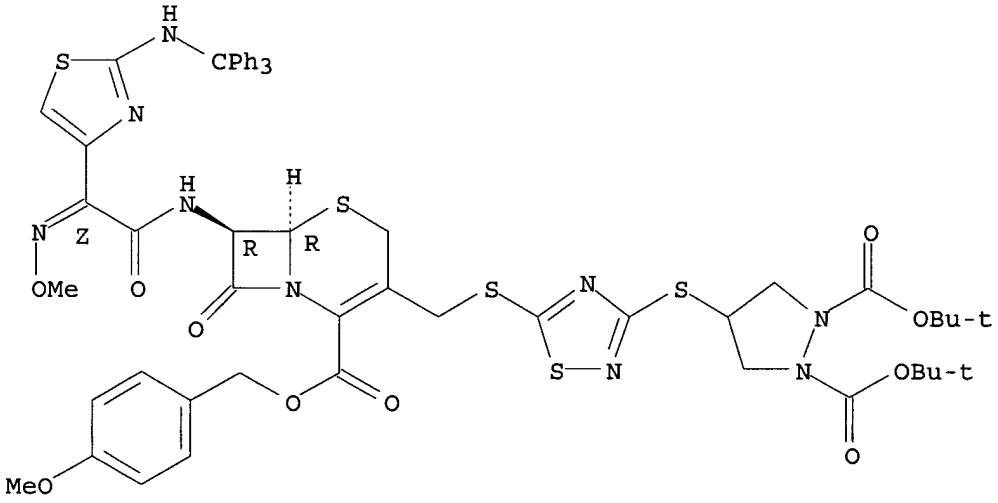
1], useful as broad-spectrum antibacterials, esp. effective against meticillin-resistant Staphylococcus aureus, are prepd. NaH (50%) was added dropwise to a soln. of mercapto compd. II in THF with stirring under cooling, chloromethyl compd. III was added, followed by EtOAc, and the pH was adjusted to 7.0 with satd. NaHCO3 to give 80% IV. Among 102 addnl. I prepd., 5 showed MIC of 0.2-0.78 .mu.g/mL against Staphylococcus aureus F-137 and 0.1-0.2 .mu.g/mL against Escherichia coli, vs. 1.56 and 3.13 .mu.g/mL, resp., with a ref. compd.

IT **135768-12-6P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(prepn. of, as antibacterial agent)

RN 135768-12-6 CAPLUS

CN 1,2-Pyrazolidinedicarboxylic acid, 4-[[5-[[[7-[[(methoxyimino)[2-[(triphenylmethyl)amino]-4-thiazolyl]acetyl]amino]-2-[[(4-methoxyphenyl)methoxy]carbonyl]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]thio]-1,2,4-thiadiazol-3-yl]thio]-, bis(1,1-dimethylethyl) ester, [6R-[6.alpha.,7.beta.(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L4 ANSWER 37 OF 49 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:	1991:247039 CAPLUS
DOCUMENT NUMBER:	114:247039
TITLE:	Preparation of 1-azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid compounds as antimicrobial agents
INVENTOR(S):	Murata, Masayoshi; Chiba, Toshiyuki; Tsutsumi, Hideo; Hattori, Kohji; Kuroda, Satoru; Ohtake, Hiroaki; Shirai, Fumiyuki
PATENT ASSIGNEE(S):	Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE:	Eur. Pat. Appl., 124 pp. CODEN: EPXXDW
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 394991	A1	19901031	EP 1990-107824	19900425
EP 394991	B1	19940817		

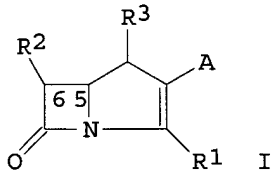
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE

US 5102877	A	19920407	US 1990-508167	19900412
CA 2015360	AA	19901028	CA 1990-2015360	19900425
JP 02300187	A2	19901212	JP 1990-111145	19900426

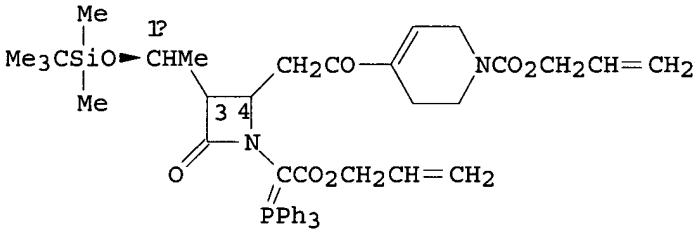
PRIORITY APPLN. INFO.: GB 1989-9797 19890428
GB 1989-16316 19890717
GB 1989-21463 19890922

OTHER SOURCE(S): MARPAT 114:247039

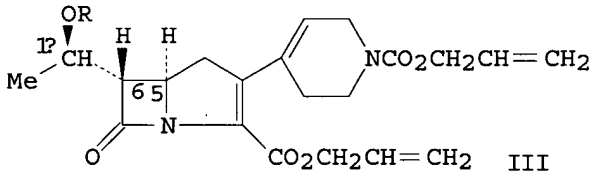
GI



I



II



III

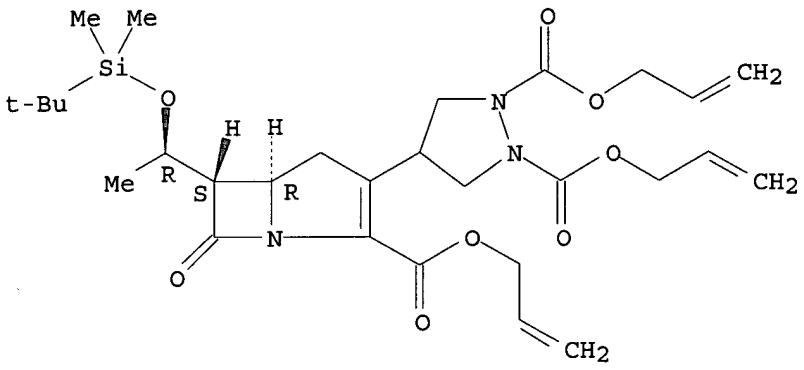
AB The title compds. [I; R1 = (protected) CO2H; R2 = (protected) hydroxyalkyl; R3 = H, alkyl; A = (fused) heterocyclyl, etc.] are prepd. Refluxing a soln. of 2.0 g azetidinone (1'R,3S,4R)-II in degassed MePh to give 0.94 g (1'R,5R,6S)-III (R = Me3CSiMe2), which was treated with HOAc and Bu4N+F- in THF at 0.degree. and room temp. to give 281 mg (1'R,5R,6S)-III (R = H). (5R,6S)-I [R1 = CO2H, R2 = (R)-MeCH(OH), R3 = H, A = 1-formimidoylpyrrolidin-3-yl] showed MIC of .ltoreq.0.025 .mu.g/mL against Staphylococcus aureus.

IT **132947-31-0P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(prepn. of, as antibacterial agent)

RN 132947-31-0 CAPLUS

CN 1,2-Pyrazolidinedicarboxylic acid, 4-[6-[1-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]-7-oxo-2-[(2-propenyloxy)carbonyl]-1-azabicyclo[3.2.0]hept-2-en-3-yl]-, di-2-propenyl ester, [5R-[5.alpha.,6.alpha.(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 38 OF 49 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1990:669 CAPLUS
DOCUMENT NUMBER: 112:669
TITLE: Amino acid derivatives, processes for their preparation, and pharmaceutical compositions comprising them for treatment of hypertension and heart failure
INVENTOR(S): Hemmi, Keiji; Neya, Masahiro; Marusawa, Hiroshi; Imai, Keisuke; Kayakiri, Natsuko; Hashimoto, Masashi
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 60 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 300189	A2	19890125	EP 1988-109430	19880614
EP 300189	A3	19900822		
EP 300189	B1	19941102		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ZA 8804087	A	19890222	ZA 1988-4087	19880608
US 4921855	A	19900501	US 1988-204549	19880609
ES 2067456	T3	19950401	ES 1988-109430	19880614
FI 8802875	A	19881223	FI 1988-2875	19880616
FI 96202	B	19960215		
FI 96202	C	19960527		
IL 86782	A1	19930404	IL 1988-86782	19880616
AU 8818190	A1	19881222	AU 1988-18190	19880621
AU 617674	B2	19911205		
DK 8803400	A	19881223	DK 1988-3400	19880621
NO 8802732	A	19881223	NO 1988-2732	19880621
NO 175371	B	19940627		
NO 175371	C	19941005		
CN 1030411	A	19890118	CN 1988-103878	19880621
CN 1026892	B	19941207		
JP 01019071	A2	19890123	JP 1988-153041	19880621
JP 06025147	B4	19940406		
HU 47917	A2	19890428	HU 1988-3164	19880621
HU 202212	B	19910228		
SU 1801107	A3	19930307	SU 1988-4356019	19880621
US 5142048	A	19920825	US 1990-462117	19900108
RU 2070195	C1	19961210	RU 1991-5010142	19911122
US 5223489	A	19930629	US 1992-828193	19920130

09/ 835,523

PRIORITY APPLN. INFO.:

GB 1987-14597	19870622
GB 1987-25511	19871030
GB 1988-5389	19880307
US 1988-204549	19880609
US 1990-462117	19900108

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

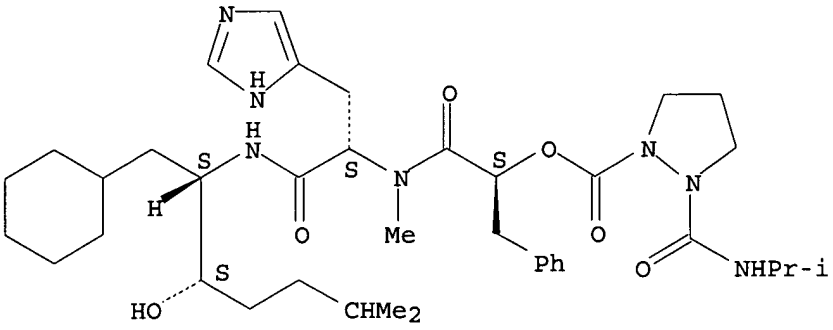
AB A process for prepg. I [R1 = lower alkyl optionally substituted with acyl, hydroxy, lower alkoxy, aryl, lower alkylthio, NR5R6; R5 = H, acyl; R6 = H, lower alkyl, aryl, (lower alkyl- or acyl-substituted) amino; R2, R3 = H, lower alkyl; R4 = lower alkyl; R1NR2 = heterocycle optionally substituted with lower alkyl, hydroxy(lower)alkyl, lower alkoxy(lower)alkyl, acyl(lower)alkyl, oxo, acyl] or its pharmaceutically acceptable salt comprises (a) reacting II (R3, R4 as above; R8 = H, N-protective group) or its reactive deriv. at the amino group or a salt thereof with III (R1, R2 as above) or its reactive deriv. at the COO group or a salt thereof, and, if necessary, eliminating the N-protective group or (b) subjecting IV (R2, R3, R4, R6 as above; R7 = N-protective group; A = lower alkylene) or its salt to elimination reaction of R7 to give V (R2, R3, R4, R6, A as above) or its salt. I are useful as antihypertensives or for the treatment of heart failure. A soln. of 2(S)-[N-(2-morpholinocarbonylethyl)-N-methylaminocarbonyloxy]-3-phenylpropionic acid (prepn. described) 449 and 2(S)-(N.alpha.-methyl-Nim-tosyl-L-histidyl)amino-1-cyclohexyl-3(S)-hydroxy-6-methylheptane (prepn. described) 300 mg in CH2Cl2 (30 mL) was mixed with N-ethyl-N'-(3-dimethylaminopropyl)carbodiimide-HCl 140 mg at 5.degree. overnight. The residue was dissolved in EtOAC, washed with HCl/NaHCO3, dried, redissolved in DMF, and reacted with pyridine-HCl 650 mg for 2 h at room temp. Workup and purifn. by TLC yielded 2(S)-[N.alpha.-[2(S)-[N-(2-morpholinocarbonylethyl)-N-methylaminocarbonyloxy]-3-phenylpropionyl]-N.alpha.-methyl-L-histidyl]amino-1-cyclohexyl-3(S)-hydroxy-6-methylheptane (VI) 221 mg (m.p. 80-87.degree.) as an amorphous powder. VI, dissolved in HCl and orally administered to Na-depleted male or female cynomolgus monkeys (32 mg/kg), reduced mean arterial blood pressure and plasma renin activity by 18 and 92%, resp.

IT **124075-74-7P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. of, as antihypertensive)

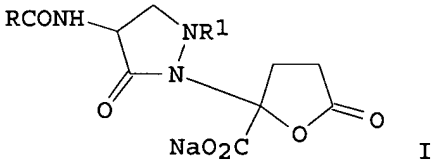
RN 124075-74-7 CAPLUS

CN 1-Pyrazolidinecarboxylic acid, 2-[[(1-methylethyl)amino]carbonyl]-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 39 OF 49 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1989:134928 CAPLUS
DOCUMENT NUMBER: 110:134928
TITLE: Synthesis of lactivicin analogs
AUTHOR(S): Tamura, Norikazu; Matsushita, Yoshihiro; Yoshioka, Kouichi; Ochiai, Michihiko
CORPORATE SOURCE: Cent. Res. Div., Takeda Chem. Ind., Ltd., Osaka, 532, Japan
SOURCE: Tetrahedron (1988), 44(11), 3231-40
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 110:134928
GI



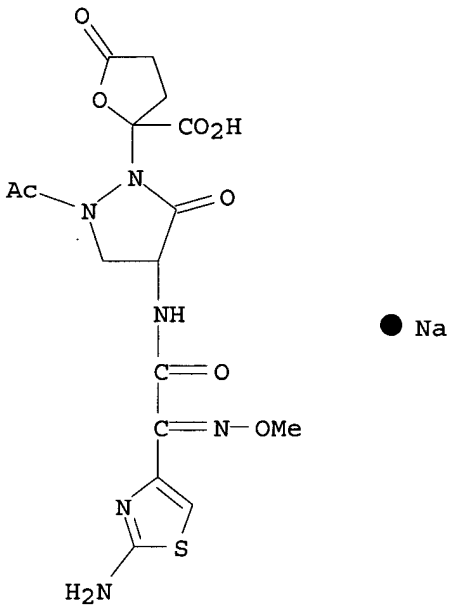
I

AB Aza analogs I [R = 2-aminothiazol-4-yl(methoxyimino)methyl, 2-thienylmethyl; R1 = H, Ac, Me] and II were prepd. I (R = Q, R1 = H) had bactericidal activity against Escherichia coli and Streptococcus pyogenes at 50 .mu.g/mL.

IT **119154-87-9P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(prepn. and bactericidal activity of)

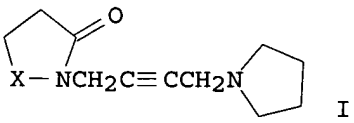
RN 119154-87-9 CAPLUS

CN 2-Furancarboxylic acid, 2-[2-acetyl-4-[[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-5-oxo-1-pyrazolidinyl]tetrahydro-5-oxo-, monosodium salt (9CI) (CA INDEX NAME)



L4 ANSWER 40 OF 49 CAPLUS COPYRIGHT 2002 ACS

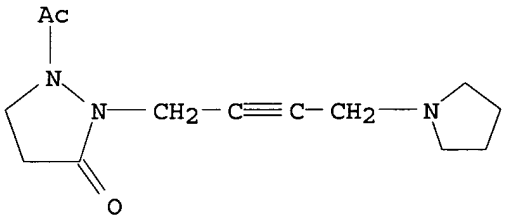
ACCESSION NUMBER: 1988:528889 CAPLUS
DOCUMENT NUMBER: 109:128889
TITLE: Position 5 at the oxotremorine skeleton as the steering position for activity at the muscarinic receptors
AUTHOR(S): Amstutz, Rene; Closse, Annemarie; Gmelin, Gernot
CORPORATE SOURCE: Praeklin. Forsch., Sandoz A.-G., Basel, CH-4002, Switz.
SOURCE: Helv. Chim. Acta (1987), 70(8), 2232-44
CODEN: HCACAV; ISSN: 0018-019X
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 109:128889
GI



I

AB Substitution of the CH2 group at position 5 of oxotremorine I (X = CH2) by electroneg. atoms like O, NH, or by sterically bulkier groups like CHMe, NAc, NCHO changes the pharmacol. profile of oxotremorine drastically. The O- and N-analogs were potent but unselective (M1/M2) muscarinic agonists. The Me analog I (X = CHMe) is a muscarine antagonist which is 10 times more potent on the ganglion cervical superius (pA2 = 9.3) then pirenzepine and is able to distinguish between the ileal and ganglion receptor by a factor of 100. The N-formyl deriv. differentiates between the two receptors by a factor of 500 with a potency comparable to pirenzepine. The two N1-selective antagonists have higher affinity to the rat-ganglion receptors compared to the affinity to rat-cortex homogenate. The synthesis and the pharmacol. activity of several new oxotremorine analogous are discussed.

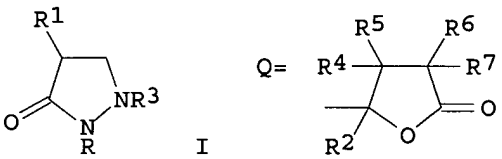
IT **116445-23-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); **BIOL (Biological study)**; **BIOL (Biological study)**; PREP (Preparation); RACT (Reactant or reagent)
(prepn. and deacetylation and muscarinic agonist activity of)
RN 116445-23-9 CAPLUS
CN 3-Pyrazolidinone, 1-acetyl-2-[4-(1-pyrrolidinyl)-2-butynyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 41 OF 49 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1988:167462 CAPLUS
DOCUMENT NUMBER: 108:167462
TITLE: Preparation of 2-(3-oxo-2-pyrazolidinyl)-5-oxo-2-tetrahydrofurancarboxylic acid derivatives antibacterial agents
INVENTOR(S): Yoshioka, Koichi; Tamura, Norikazu
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 36 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62215583	A2	19870922	JP 1986-57921	19860314

OTHER SOURCE(S): CASREACT 108:167462
GI



AB The title compds. [I; R = Q; R1 = NH2, org. group linked via N; R2 = (un)substituted CO2H; R3-R7 = H, org. group, or R4R5 or R6R7 = bond], useful as antibacterial agents (no data), were prepd. Reaction of 1-acetyl-4-benzyloxycarbonylamino-3-pyrazolidinone with 2-chloro-5-oxo-2-tetrahydrofurancarboxylic acid 4-nitrobenzyl ester in DMF in the presence of NaH gave 2-(1-acetyl-4-benzyloxycarbonylamino-3-oxo-2-pyrazolidinyl)-5-oxo-2-tetrahydrofurancarboxylic acid 4-nitrobenzyl ester which was hydrogenated over 10% Pd/C to a free amine and then acylated with 2-chloroacetamido-4-thiazolyl-(Z)-2-(methoxyimino)acetyl chloride.HCl in aq. THF contg. NaHCO3 to give, after deprotection with MeNHCS2Na,

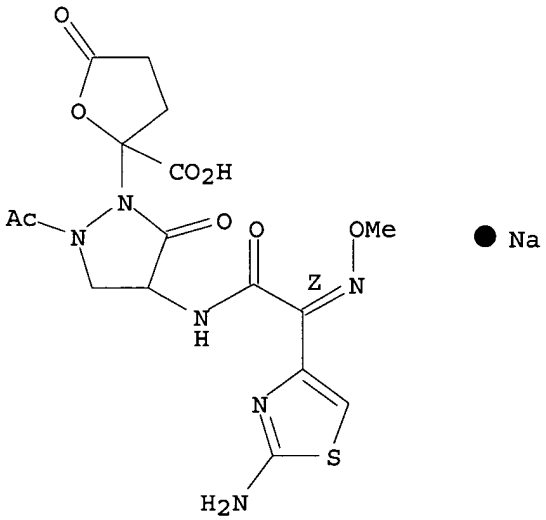
2-[1-acetyl-4-[2-(2-amino-4-thiazolyl)-(Z)-2-(methoxyimino)acetamido]-3-oxo-2-pyrazolidinyl]-5-oxo-2-tetrahydrofurancarboxylic acid Na salt.

IT **113703-02-9P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. of, as antibacterial agent)

RN 113703-02-9 CAPLUS

CN 2-Furancarboxylic acid, 2-[2-acetyl-4-[[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-5-oxo-1-pyrazolidinyl]tetrahydro-5-oxo-, monosodium salt, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L4 ANSWER 42 OF 49 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1984:591944 CAPLUS

DOCUMENT NUMBER: 101:191944

TITLE: Heterocyclic compounds and their uses as herbicides

INVENTOR(S): Kobayashi, Shinichi; Yanagi, Mikio; Yamada, Osamu; Shida, Atsuhiko; Futatsuya, Fumio; Shimano, Shizuo

PATENT ASSIGNEE(S): Nippon Kayaku Co., Ltd. , Japan

SOURCE: Eur. Pat. Appl., 52 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

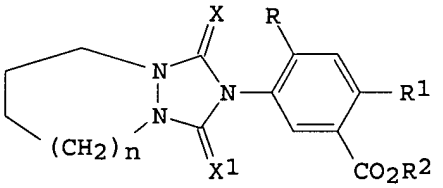
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

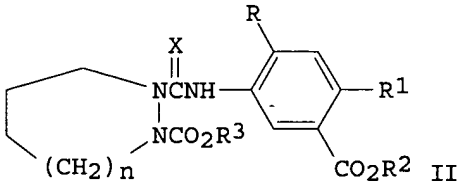
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
√	EP 104484	A1	19840404	EP 1983-108583	19830831
	R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE				
	JP 59042384	A2	19840308	JP 1982-151696	19820902
	JP 59048462	A2	19840319	JP 1982-158227	19820913

PRIORITY APPLN. INFO.: JP 1982-151696 19820902
JP 1982-158227 19820913

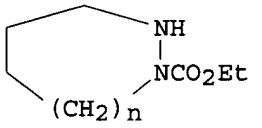
GI



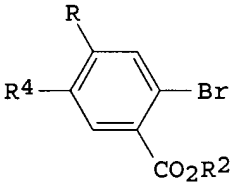
I



II



III



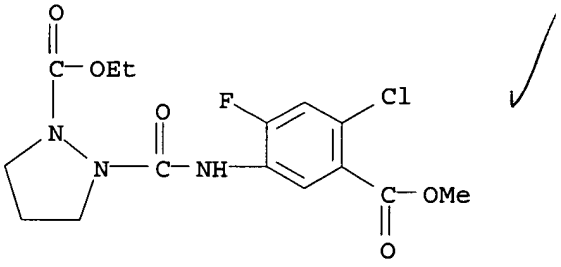
IV

AB Diazacycloalkanecarboximides and -amides I and II (R = H, halo; R1 = halo; R2 = H, alkoxyalkyl, alkyl; R3 = alkyl; X, X1 = O, S; n = 0-3) were prepd. Thus, pyridazine III (n = 1) was treated with isocyanate IV (R = F, R2 = Me2CH, R4 = NCO) at 80.degree. to give I (R = F, R1 = Br, R2 = Me2CH, X = X1 = O, n = 1) (V). Also, pyrazole III (n = 0) was treated with isothiocyanate IV (R = H, R2 = EtMeCH, R4 = NCS) at .apprx.20.degree. to give II (R = H, R1 = Br, R2 = EtMeCH, R3 = Et, X = S, n = 0) (VI). At 12.5 g/are postemergent, both V and VI gave 100% control of pigweed.

IT **91151-15-4P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(prepn. and herbicidal activity of)

RN 91151-15-4 CAPLUS

CN 1-Pyrazolidinecarboxylic acid, 2-[[[4-chloro-2-fluoro-5-(methoxycarbonyl)phenyl]amino]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

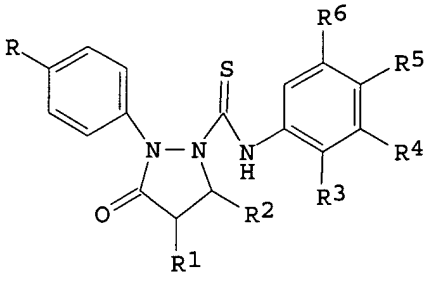


L4 ANSWER 43 OF 49 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1984:191872 CAPLUS
DOCUMENT NUMBER: 100:191872
TITLE: 1-(Aryl)thiocarbamoyl-2-(aryl)-3-pyrazolidinones and their nematocidal use
INVENTOR(S): Sakai, Kunikazu; Suda, Minoru; Kondo, Kiyoshi
PATENT ASSIGNEE(S): FMC Corp. , USA
SOURCE: U.S., 7 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

US 4431659	A	19840214	US 1982-426470	19820929
JP 60146874	A2	19850802	JP 1984-1426	19840110
JP 02016749	B4	19900418		

PRIORITY APPLN. INFO.: US 1982-426470 19820929
OTHER SOURCE(S): CASREACT 100:191872
GI

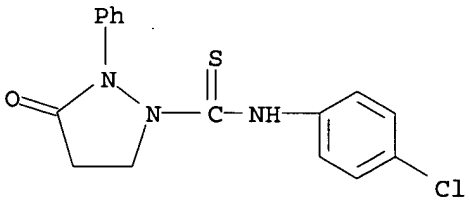


I

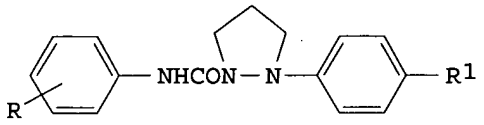
AB The nematocidal title compds. I (R = H, Me, Cl; R1, R2 = H, Me; R3 = H, halo, Me; R4, R6 = H, halo, R5 = H, halo, alkoxy) were prepd. Thus, 2-phenyl-3-pyrazolidinone was treated with p-ClC4H4NCS to give I (R = R1 = R2 = R3 = R4 = R6 = H, R5 = Cl) (II). At 25 ppm II completely controlled Tylenchorynchus claytoni.

IT **90061-62-4P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(prepn. and nematocidal activity of)

RN 90061-62-4 CAPLUS
CN 1-Pyrazolidinecarbothioamide, N-(4-chlorophenyl)-3-oxo-2-phenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 44 OF 49 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1979:456900 CAPLUS
DOCUMENT NUMBER: 91:56900
TITLE: Synthesis of 1-phenyl-2-(phenylcarbamoyl)pyrazolidines as potential anticonvulsant agents
AUTHOR(S): Kornet, Milton J.; Garrett, R. Joyce
CORPORATE SOURCE: Coll. Pharm., Univ. Kentucky, Lexington, KY, 40506, USA
SOURCE: J. Pharm. Sci. (1979), 68(3), 377-8
CODEN: JPMSAE; ISSN: 0022-3549
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



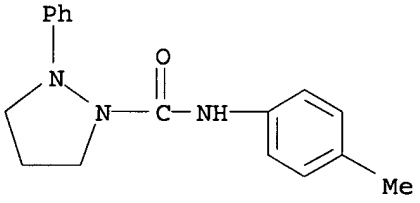
I

AB Twelve 1-phenyl-2-(phenylcarbamoyl)pyrazolidines I (R = H, m-Cl, p-Cl, p-F, p-MeO, p-EtO, o-Me, p-Me, 2,6-ClMe, 2,6-Me2, R1 = H; R = H, R1 = Cl; R = m-Cl, R1 = Me) were synthesized from 1-arylpyrazolidines and aryl isocyanates. These adducts showed little anticonvulsant activity in the maximal electroshock seizure and pentylenetetrazole seizure assays.

IT **70274-08-7P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(prepn. and anticonvulsant activity of)

RN 70274-08-7 CAPLUS

CN 1-Pyrazolidinecarboxamide, N-(4-methylphenyl)-2-phenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 45 OF 49 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1979:87347 CAPLUS

DOCUMENT NUMBER: 90:87347

TITLE: Synthesis of 1-methyl-2-phenylcarbamoylpyrazolidines as potential anticonvulsant agents

AUTHOR(S): Kornet, Milton J.

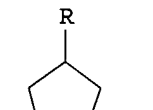
CORPORATE SOURCE: Coll. Pharm., Univ. Kentucky, Lexington, Ky., USA

SOURCE: J. Pharm. Sci. (1978), 67(10), 1471-3
CODEN: JPMSAE; ISSN: 0022-3549

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



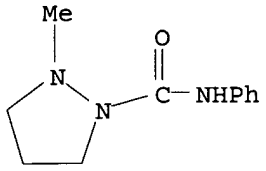
I, R=Me, R^1=H

II, R=H,Me, R^1=$R^3C_6H_4NHCO$

AB LiAlH4 redn. of 1,4-dimethyl-3-pyrazolidinone yielded 1,4-dimethylpyrazolidine (I). I and 1-methylpyrazolidine reacted with R3C6H4NCO (R3 = Me, halo, MeO, NO2) to give II. Several II possessed anticonvulsant activity in the maximal electroshock seizure and pentylenetetrazol seizure threshold tests in mice.

IT **69163-92-4P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)

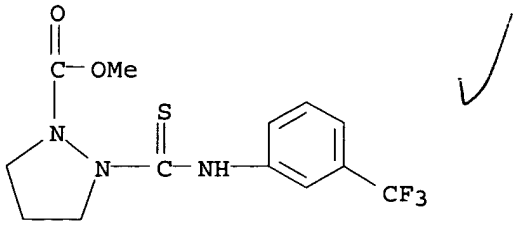
(prepn. and anticonvulsant activity of)
RN 69163-92-4 CAPLUS
CN 1-Pyrazolidinecarboxamide, 2-methyl-N-phenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 46 OF 49 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1978:50904 CAPLUS
DOCUMENT NUMBER: 88:50904
TITLE: Herbicidal N,N'-alkylene-N-alkoxycarbonyl-N'-(thio)carbamoylhydrazines
INVENTOR(S): Wakabayashi, Osamu; Matsuya, Kuni; Ohta, Hiroki; Jikihara, Tetsuo; Watanabe, Hisao
PATENT ASSIGNEE(S): Mitsubishi Chemical Industries Co., Ltd., Japan
SOURCE: Japan. Kokai, 9 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 52083552	A2	19770712	JP 1976-205	19760101

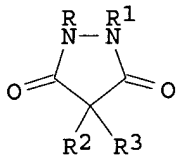
GI For diagram(s), see printed CA Issue.
AB Fifty herbicidal hydrazine derivs. I (n = 3-6; Z = S, O; R = Me, Et, Me2CHCH2; R1 = p-chlorophenyl, 3,4-dichlorophenyl, p-methoxyphenyl, 1-naphthyl, allyl, etc.) were prepd. by acylating N,N'-alkylene hydrazines. Thus, I (n = 4, Z = S, R = Et, R1 = p-chlorophenyl) was prepd. in 94 or 88% yield by equimol. reaction of Et hexahydro-1-pyridazinecarboxylate with p-chlorophenyl isothiocyanate or 1-(p-chlorophenylthiocarbamoyl)hexahydropyridazine with ClCO2Et, resp.
IT **59925-34-7P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(prepn. and herbicidal activity of)
RN 59925-34-7 CAPLUS
CN 1-Pyrazolidinecarboxylic acid, 2-[thioxo[[3-(trifluoromethyl)phenyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 47 OF 49 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1977:121241 CAPLUS
DOCUMENT NUMBER: 86:121241

09/ 835,523

TITLE: Synthesis and screening of some substituted 3,5-dioxopyrazolidines
AUTHOR(S): Nasr, H.; El-Zanfally, S.; Khalifa, M.; Abu-Shady, H.
CORPORATE SOURCE: Fac. Pharm., Cairo Univ., Cairo, Egypt
SOURCE: Pharmazie (1976), 31(11), 774-5
CODEN: PHARAT
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

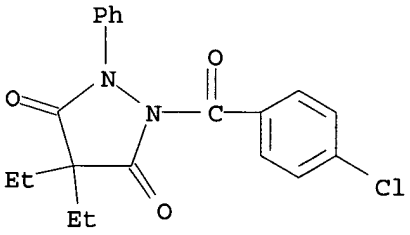


I

AB Seven pyrazolidinediones I (R = Ph, p-ClC6H4CO, p-O2NC6H4CO, R1 = p-ClC6H4CO, p-O2NC6H4CO; R2 = Et, Bu, p-O2NC6H4CO; R3 = Et, Bu) were prepd. by acylation of the appropriate pyrazolidinedione with p-ClC6H4COCl or p-O2NC6H4COCl. I (R = Ph, R1 = p-O2NC6H4CO, R2 = R3 = Et) had antiinflammatory activity.

IT **62188-93-6P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(prepn. and antiinflammatory activity of)

RN 62188-93-6 CAPLUS
CN 3,5-Pyrazolidinedione, 1-(4-chlorobenzoyl)-4,4-diethyl-2-phenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 48 OF 49 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1977:29859 CAPLUS
DOCUMENT NUMBER: 86:29859
TITLE: N1,N2-Alkylene-N1-alkoxycarbonyl-N2-(N-substituted carbamoyl or thiocarbamoyl)hydrazines
INVENTOR(S): Wakabayashi, Osamu; Matsuya, Kuni; Ota, Hiroki; Jikihara, Tetsuo; Watanabe, Hisao
PATENT ASSIGNEE(S): Mitsubishi Chemical Industries Co., Ltd., Japan
SOURCE: Japan. Kokai, 12 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

JP 51065757	A2	19760607	JP 1974-136691	19741129

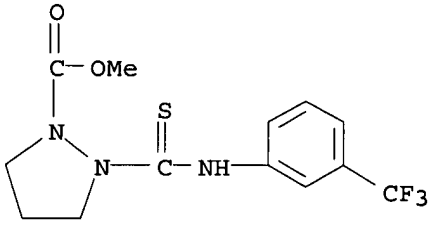
GI For diagram(s), see printed CA Issue.

AB N1,N2-Alkylene hydrazines I (R1 = alkyl; R2 = alkyl, alkoxy, NO2, halo, trihalomethyl, aryl, alkenyl, cycloalkyl; Z = O, S; n = 3-6) were prepd. by reaction of hydrazines II with isocyanates or isothiocyanates R2NCX or by reaction of hydrazines III with haloformates XCO2R1 (X = halo) or carbonates (R1O)2CO. I had herbicidal activity; the data were given against Panicum crus-galli, Rotala indica var. uliginosa, Digitaria adscendens, Portulaca oleracea, and Paphanus salivus. Thus, 1.7 g p-ClC6H4NCS and 1.58 g II (R1 = Et, n =4) in C6H6 were kept 3 hr at room temp. to give 94% I (R1 = Et, R2 = p-ClC6H4, Z = S, n = 4). Among 51 addnl. I prepd. were (R1, R2, Z, n given): Et, p-MeOC6H4, O, 4; Me, p-MeOC6H4, S, 3; Me, p-ClC6H4, S 3; and Me, 3,4-Cl2C6H3, S, 3.

IT **59925-34-7P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(prepn. and herbicidal activity of)

RN 59925-34-7 CAPLUS

CN 1-Pyrazolidinecarboxylic acid, 2-[thioxo[[3-(trifluoromethyl)phenyl]amino] methyl]-, methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 49 OF 49 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1976:473445 CAPLUS

DOCUMENT NUMBER: 85:73445

TITLE: N1,N2-Alkylene-N1-alkoxycarbonyl-N2-(N-substituted carbamoyl)hydrazine and herbicides

INVENTOR(S): Wakabayashi, Osamu; Matsuya, Kuni; Ohta, Hiroki; Jikihara, Tetsuo; Watanabe, Hisao

PATENT ASSIGNEE(S): Mitsubishi Chemical Industries Co., Ltd., Japan

SOURCE: Japan. Kokai, 10 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

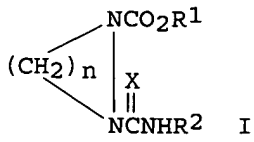
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 51038425	A2	19760331	JP 1974-112138	19740928

GI



I

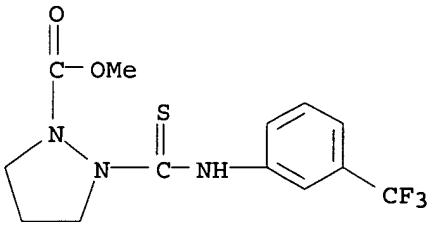
09/ 835,523

AB The title compds. I (R1 = lower alkyl; X = O or S; R2 = lower alkyl-, alkoxy-, NO2-, halogen-, trihalomethyl-, halobenzyloxy-substituted aryl, lower alkyl, alkenyl, or cycloalkyl; n = 3-6) are potent herbicides against broadleaf and perennial weeds. Et 1,2-tetramethylene-1-(p-chlorophenylthiocarbamoyl)hydrazine-2-carboxylate (II) [58745-37-2] was synthesized by treating Et 1,2-tetramethylenehydrazine-1-carboxylate [5740-50-1] with p-chlorophenyl isocyanate [104-12-1]. Similarly, 50 I were synthesized. II, applied to the soil at 10 g/are, completely inhibited the germination of Digitaria and Portulaca.

IT **59925-34-7P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. and herbicidal activity of)

RN 59925-34-7 CAPLUS

CN 1-Pyrazolidinecarboxylic acid, 2-[thioxo[[3-(trifluoromethyl)phenyl]amino] methyl]-, methyl ester (9CI) (CA INDEX NAME)



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(FILE 'HOME' ENTERED AT 16:36:11 ON 14 AUG 2002)

FILE 'REGISTRY' ENTERED AT 16:36:20 ON 14 AUG 2002
L1 STRUCTURE UPLOADED
L2 50 S L1
L3 1547 S L1 FUL

FILE 'CAPLUS' ENTERED AT 16:37:14 ON 14 AUG 2002
L4 49 S L3/BIOL

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	217.48	358.35
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-30.36	-30.36

STN INTERNATIONAL LOGOFF AT 16:38:14 ON 14 AUG 2002

L104 ANSWER 11 OF 47 ZCAPLUS COPYRIGHT 1998 ACS

AN 1995:956185 ZCAPLUS

DN 124:146778

TI Azaproline: A pseudo amino acid for initiating or destabilizing a turn

AU Didierjean, C.; Aubry, A.; Rinaldi, D.; Boussard, G.; Marraud, M.

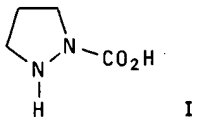
CS CNRS, Universite de Nancy I, Nancy, Fr.

SO AIP Conf. Proc. (1995), 330(E.C.C.C. 1 Computational Chemistry), 403-5
CODEN: APCPCS; ISSN: 0094-243X

DT Journal

LA English

GI



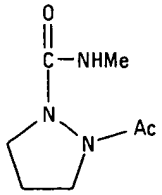
I

AB A conference report discussing the conformational preferences of azaproline (AzaPro; I) and azaproline-contg. deriv. Ac-AzaPro-NHMe and peptide Me_3CO_2C-Ala-AzaPro-Ala-$NHCHMe_2$ in comparison with proline analogs.

IT ***173414-21-6***
(conformational preferences of azaproline and azaproline-contg. peptides)

RN 173414-21-6 ZCAPLUS

CN 1-Pyrazolidinecarboxamide, 2-acetyl-*N*-methyl- (9CI) (CA INDEX NAME)



****

L104 ANSWER 12 OF 47 ZCAPLUS COPYRIGHT 1998 ACS

AN 1994:701283 ZCAPLUS

DN 121:301283

TI Approaches to pseudopeptidic ergopeptines. Part 2. Consequences of the incorporation of an α-azaproline residue into the oxacyclolic system

AU Pinnen, Francesco; Luisi, Grazia; Calcagni, Anna; Lucente, Gino; Gavuzzo, Enrico; Cerrini, Silvio

CS Ist. Chim. Farm., Univ. Catania, Catania, 95125, Italy

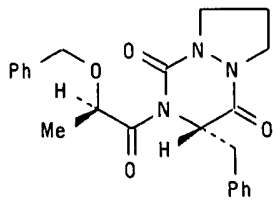
SO J. Chem. Soc., Perkin Trans. 1 (1994), (12), 1611-17
CODEN: JCPRB4; ISSN: 0300-922X

DT Journal

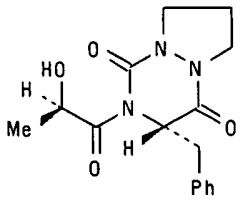
LA English

OS CASREACT 121:301283

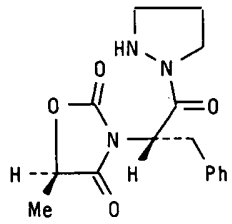
GI



I



II



III

AB As part of a program to synthesize pseudopeptidic ergopeptines, the introduction of an α-azaproline residue in place of native proline into an ergotamine-like oxacyclolic system has been investigated. Starting material N-[(R)-2-benzyloxypropionyl]cyclo(Phe-azaPro) **I** was prepd. following two alternative synthetic routes and was subjected to reductive O-debenzylation. N,O-acyl transfer on the resulting N-[(R)-2-hydroxypropionyl]cyclo(Phe-azaPro) **II** leads, through a new type of four-heteroatom tetrahedral adduct, to (5R)-5-methyl-3-[(1S)-2-phenyl-1[(pyrazolidin-1-yl)carbonyl]ethyl]oxazolidine-2,4-dione **III**, as a unique isolable tautomer. Structural and conformational details of compd. **II**, as revealed by x-ray anal., are reported and compared with those of previously studied related models.

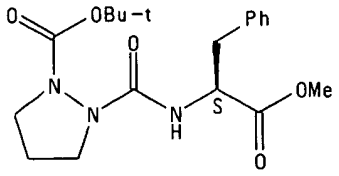
IT ***159174-54-6P***
(prepn. and deblocking of)

RN 159174-54-6 ZCAPLUS

RN 159174-54-6 ZCAPLUS

CN 1-Pyrazolidinecarboxylic acid, 2-[[[2-methoxy-2-oxo-1-(phenylmethyl)ethyl]amino]carbonyl]-, 1,1-dimethylethyl ester, (*S*)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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L104 ANSWER 16 OF 47 MARPAT COPYRIGHT 1998 ACS

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L104 ANSWER 17 OF 47 ZCAPLUS COPYRIGHT 1998 ACS

AN 1993:581221 ZCAPLUS

DN 119:181221

TI Crystal state conformation of three azapeptides containing the azaproline residue, a β-turn regulator

AU Lecoq, A.; Boussard, G.; Marraud, M.; Aubry, A.

CS CNRS, Nancy, 54001, Fr.

SO Biopolymers (1993), 33(7), 1051-9
CODEN: BIPMAA; ISSN: 0006-3525

DT Journal

LA English

AB The mol. structure of azaproline-contg. peptides Z-AzaPro-NHCHMe$_2$ (I, Z = PhCH$_2$O$_2$C, AzaPro = azaproline), Z-AzaPro-L-Ala-NHCHMe$_2$ (II), and Boc-L-Ala-AzaPro-NHCHMe$_2$ (III, Boc = Me$_3$CO$_2$C) were detd. by x-ray diffraction. Starting from the key synthon benzyl azaprolinate, I, II, and III have been prepd. by combined use of liq. phase peptide synthesis methods and adequate isocyanates. In all peptides, the following geometric characteristics are retained: (a) pyramidal character of the two nitrogen atoms of the pyrazolidine ring; (b) pseudo cis conformation of the urethane (I, II) or tertiary amide (III) function preceding the AzaPro residue; (c) identical abs. values of the azaproline residue torsion angles "φ, ψ," resp. 111° and 23°. In II, the two nitrogen atoms of the pyrazolidine ring are R,R but the opposite S,S abs. configurations are obsd. in III. In the crystal, III adopts a folded structure similar to a type VI β-turn with a weak intramol. i + 3 → i hydrogen bond, while an extended structure is obsd. in II. In the light of the authors' findings, in a peptide chain and contrary to the Pro residue, an AzaPro residue should prevent the formation of any type of β-turn with the residue following it but could accommodate a folded structure with a pseudo type VI β-turn with the preceding residue. If confirmed, this would be of tremendous importance in the design of biol. active peptides and drugs.

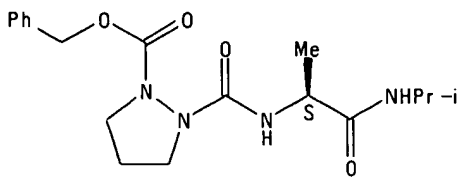
IT ***145123-36-0*** ***145123-38-2***
(crystal and mol. structure of)

RN 145123-36-0 ZCAPLUS

CN 1-Pyrazolidinecarboxylic acid, 2-[[[(1S)-1-methyl-2-[(1-methylethyl)amino]-2-oxoethyl]amino]carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

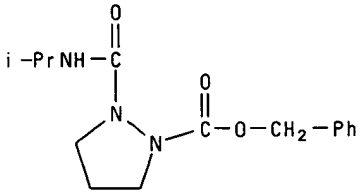
Absolute stereochemistry.

RN 145123-36-0 ZCAPLUS



RN 145123-38-2 ZCAPLUS

CN 1-Pyrazolidinecarboxylic acid, 2-[[(1-methylethyl)amino]carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



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L104 ANSWER 18 OF 47 ZCAPLUS COPYRIGHT 1998 ACS DUPLICATE 1

AN 1992:511477 ZCAPLUS

DN 117:111477

TI Preparation of N-[(bicycloheptylmethyl)sulfonyl]spiro[1H-indane-1,4'-piperidine] derivatives and analogs as oxytocin antagonists

IN Bock, Mark G.; Evans, Ben E.; Freidinger, Roger M.; Gilbert, Kevin; Hobbs, Doug W.; Lundell, George F.; Pettibone, Douglas J.; Rittle, Kenneth E.

PA Merck and Co., Inc., USA

SO Eur. Pat. Appl., 123 pp.
CODEN: EPXXDW

PI EP-486280 A2 19920520

DS R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE

AI 91EP-0310476 19911113

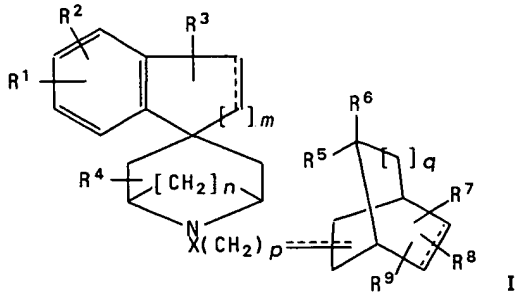
PRAI 90US-0612344 19901113
91US-0759254 19910913

DT Patent

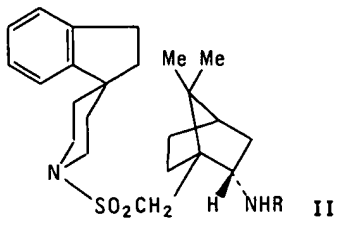
LA English

OS MARPAT 117:111477

GI



I



II

AB Title compds. [I; R^1, R^2 = H, halo, alkyl, alkoxy, OH, CF_3; R^3 = H, halo, OH, etc.; R^4 = H, alkyl, Ph; R^5, R^6 = H, alkyl, hydroxyalkyl; R^5R^6 = O, atoms to complete a carbocyclic ring, etc.; R^7-R^9 = H, alkyl, alkoxy(carbonyl), (substituted)amino(alkyl), etc.; dashed lines = optional bonds; X = SO_2, CO,CH_2, etc.; *m* = 1-3; *n*,*p* = 0-2; *q* = 0, 1] were prepd. as oxytocin antagonists (no data). Thus, $(ClCH_2CH_2)_2NCO_2CMe_3$ (prepn. given) was cyclocondensed with

L104 ANSWER 18 OF 47 ZCAPLUS COPYRIGHT 1998 ACS DUPLICATE 1

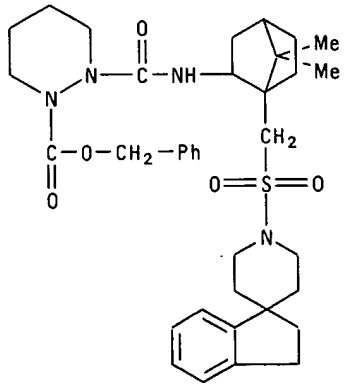
indene and the deprotected product *N*-acylated with (+)-10-camphorsulfonyl chloride to give, after oximation and redn., title compd. **II** (R = H) which was condensed with CH_2:$CHCH_2COCl$ to give **II** (R = $COCH_2CH$:CH_2).

IT ***142643-10-5P***

(prepn. of, as oxytocin antagonist)

RN 142643-10-5 ZCAPLUS

CN 1(2*H*)-Pyridazinecarboxylic acid, 2-[[[1-[[(2,3-dihydrospiro[1*H*-indene-1,4′-piperidin]-1′-yl)sulfonyl]methyl]-7,7-dimethylbicyclo[2.2.1]hept-2-yl]amino]carbonyl]tetrahydro-, phenylmethyl ester, (1*S-endo*)- (9CI) (CA INDEX NAME)



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L104 ANSWER 20 OF 47 MARPAT COPYRIGHT 1998 ACS

AN 116:214522 MARPAT

TI Preparation of (heterocyclylphenylthio)]cycloalkanecarboxylic acid derivatives as herbicides and plant growth regulators

IN Pissiotas, Georg; Moser, Hans; Brunner, Hans Georg; Steiner, Eginhard

PA Ciba-Geigy A.-G., Switz.

SO Eur. Pat. Appl., 223 pp.
CODEN: EPXXDW

PI EP-468924 A2 19920129

DS R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE

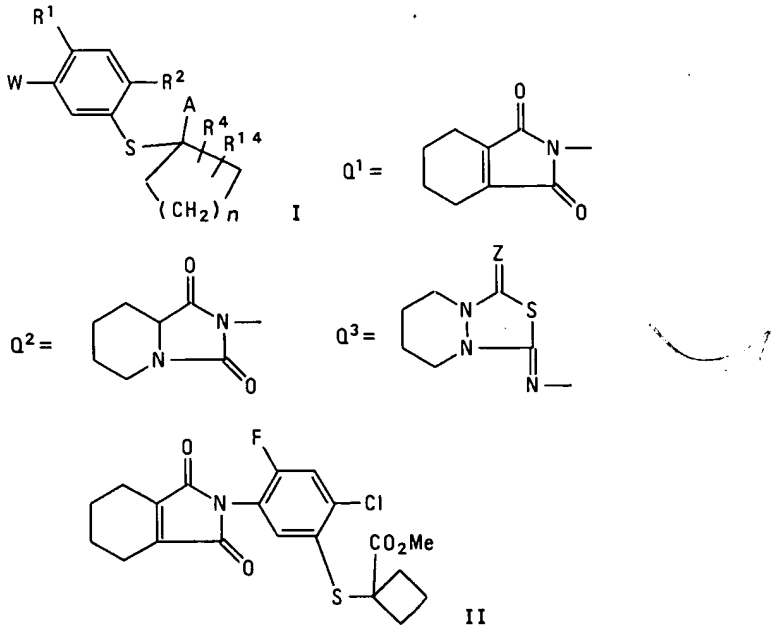
AI 91EP-0810577 19910716

PRAI 90CH-0002439 19900723

DT Patent

LA German

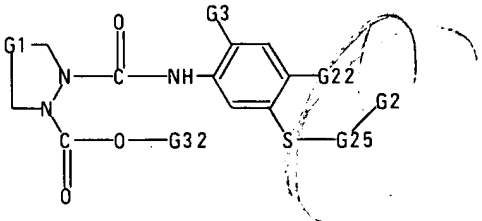
GI



AB Title compds. [I; W = Q1-Q3, etc.; A = COR3, cyano; R1 = H, F; R2 = halo, cyano; R3 = Cl, amino, XR5, pyrrolidino, morpholino, etc.; R4, R14 = H, F, Cl, Br, alkyl, CF_3; R5 = H, (cyclo)alkyl, alkoxyalkyl, haloalkyl, alkylthioalkyl, cyanoalkyl, alkenyl, (substituted) $PhCH_2$, etc.; X, Z = O, S; *n* = 0-4], were prepd. Thus, Me 1-(5-amino-2-chloro-4-fluorophenylthio)cyclobutanecarboxylate (prepn. given) and 3,4,5,6-tetrahydrophthalic anhydride were refluxed 5 h in AcOH to give title compd. **II**. **II** at 250 g/ha postemergent gave 100% control of *Abutilon*, *Sida spinosa*, etc.

L104 ANSWER 20 OF 47 MARPAT COPYRIGHT 1998 ACS

MSTR 13



G1 = (1-2) CH_2
G32 = CH_2Ph
MPL: claim 1

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L104 ANSWER 21 OF 47 ZCAPLUS COPYRIGHT 1998 ACS

AN 1993:39364 ZCAPLUS

DN 118:39364

TI The couple Pro/AzaPro: a means of β-turn formation control synthesis and conformation of two AzaPro-containing dipeptides

AU Lecoq, Alain; Boussard, Guy; Marraud, Michel; Aubry, Audre

CS Lab. Chim. Phys. Macromol., Nancy, 54001, Fr.

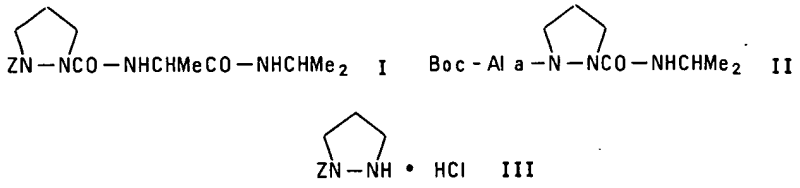
SO Tetrahedron Lett. (1992), 33(36), 5209-12
CODEN: TELEAY; ISSN: 0040-4039

DT Journal

LA English

OS CASREACT 118:39364

GI



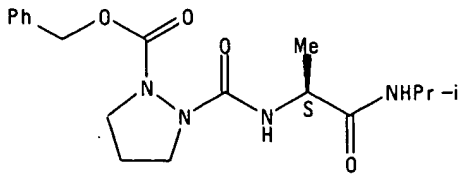
AB On the basis of synthesized azaproline (AzaPro)-contg. dipeptides I (Z = $PhCH_2O_2C$) and II (Boc = Me_3CO_2C), the conformational influence of the azaproline residue (a nitrogen atom is substituted for the Pro-CIIα) on the β-turn occurrence was tested according to its relative position in the azadipeptide sequence. A key step in the synthesis of the azaproline residue was the cyclization of ZNHNHBoc with $Br(CH_2)_3Br$ in the presence of NaH followed by cleavage of the Boc group with HCl to give azaproline deriv. III.

IT ***145123-36-0P***
(prepn. and conformation of)

RN 145123-36-0 ZCAPLUS

CN 1-Pyrazolidinecarboxylic acid, 2-[[[(1*S*)-1-methyl-2-[(1-methylethyl)amino]-2-oxoethyl]amino]carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



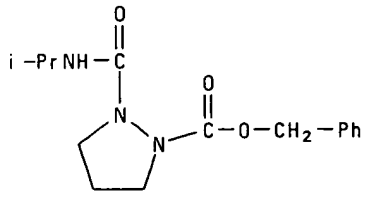
IT ***145123-38-2P***

RN 145123-36-0 ZCAPLUS

(prepn. and hydrogenolysis of)

RN 145123-38-2 ZCAPLUS

CN 1-Pyrazolidinecarboxylic acid, 2-[[(1-methylethyl)amino]carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



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L104 ANSWER 24 OF 47 MARPAT COPYRIGHT 1998 ACS

AN 116:20783 MARPAT

TI Preparation of semicarbazido-containing phenylhydrazines

IN Onodera, Akira; Usagawa, Yasushi

PA Konica Co., Japan

SO Jpn. Kokai Tokkyo Koho, 13 pp.
CODEN: JKXXAF

PI JP03093767 A2 19910418 Heisei

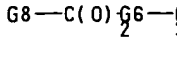
AI 89JP-0229005 19890904

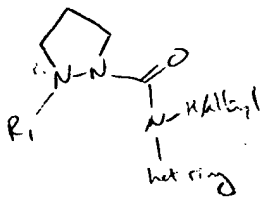
DT Patent

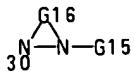
LA Japanese

AB $R^1NR^2NR^3CONR^4XNA^1NA^2GR^5$ [I; A^1, A^2 = H, acyl, sulfonyl, oxalyl; A^1 and/or A^2 are H; X = bivalent arom. or heterocyclic ring residue; R^1-R^3 = H, alkyl, alkenyl, alkynyl, aryl, heterocyclyl, (thio)acyl, sulfonyl, (thio)carbamoyl; R^1R^2 and/or R^1R^3 may be bonded to form rings; R^1R^2 may alkylidene; R^4 = H, alkyl; G = CO, SO_2, sulfoxyl, phosphoryl, thiocarbonyl, iminomethylene; R^5 = H, alkyl, aryl, heterocyclyl, alkoxy, aryloxy, OH, NH_2, alkoxycarbonyl, alkenyloxycarbonyl, alkynyloxycarbonyl, aryloxycarbonyl, carbamoyl], useful for photog. sensitizers (no data), are prepd. by condensation of $R^1R^2NNHR^3$ (II; R^1-R^3 = same as I) and $R^6OCONR^4XNA^1NA^2GR^5$ [III; R^4, R^5, G, A^1, A^2 = same as I; R^6 = H, alkyl, aryl, heterocyclyl). Thus, 20.0 g $PhOCONHC_6H_4NHNHCOCH_2OMe$-*p* (prepd. from *p*-$NO_2C_6H_4NHNH_2$ in 3 steps) was refluxed with 4.0 mL $N_2N_4.H_2O$ in MeCN to give 15.7 g *p*-$(NH_2NHCONH)C_6H_4NHNHCOCH_2OMe$.

MSTR 1



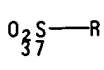




G6 = NH

G8 = 30

G15 = 37



G16 = R<TX "ring-forming group">

MPL: claim 1

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L104 ANSWER 36 OF 47 ZCAPLUS COPYRIGHT 1998 ACS

AN 1984:591944 ZCAPLUS

DN 101:191944

TI Heterocyclic compounds and their uses as herbicides

IN Kobayashi, Shinichi; Yanagi, Mikio; Yamada, Osamu; Shida, Atsuhiko; Futatsuya, Fumio; Shimano, Shizuo

PA Nippon Kayaku Co., Ltd. , Japan

SO Eur. Pat. Appl., 52 pp.
CODEN: EPXXDW

PI EP-104484 A1 19840404

DS R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE

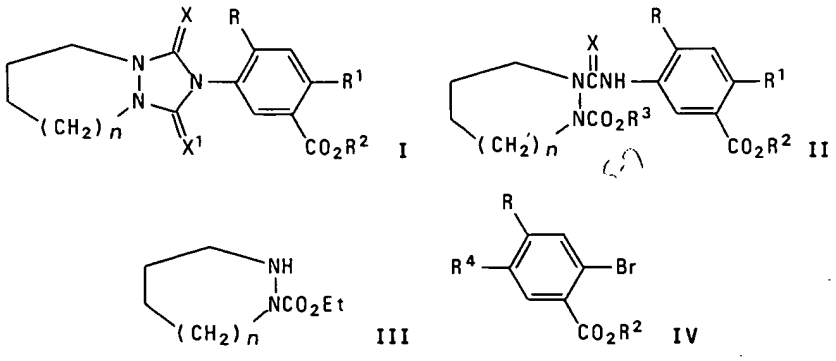
AI 83EP-0108583 19830831

PRAI 82JP-0151696 19820902
82JP-0158227 19820913

DT Patent

LA English

GI



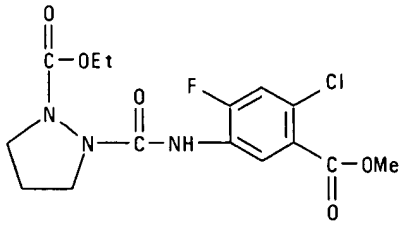
AB Diazacycloalkanecarboximides and -amides **I** and **II** (R = H, halo; R^1 = halo; R^2 = H, alkoxyalkyl, alkyl; R^3 = alkyl; X, X^1 = O, S; *n* = 0-3) were prepd. Thus, pyridazine **III** (*n* = 1) was treated with isocyanate **IV** (R = F, R^2 = Me_2CH, R^4 = NCO) at 80° to give **I** (R = F, R^1 = Br, R^2 = Me_2CH, X = X^1 = O, *n* = 1) (V). Also, pyrazole **III** (*n* = 0) was treated with isothiocyanate **IV** (R = H, R^2 = EtMeCH, R^4 = NCS) at ~20° to give **II** (R = H, R^1 = Br, R^2 = EtMeCH, R^3 = Et, X = S, *n* = 0) (VI). At 12.5 g/are postemergent, both **V** and **VI** gave 100% control of pigweed.

IT ***91151-15-4P*** ***91151-16-5P*** ***91151-17-6P*** ***91151-24-5P*** ***91151-25-6P*** ***91151-26-7P*** ***91151-27-8P*** ***91151-28-9P*** ***91151-30-3P*** ***91151-32-5P*** ***91151-53-0P***
(prepn. and herbicidal activity of)

RN 91151-15-4 ZCAPLUS

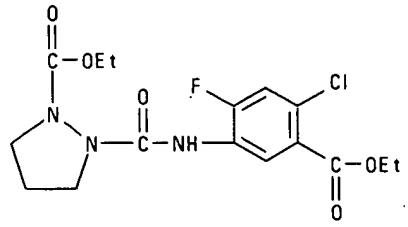
RN 91151-15-4 ZCAPLUS

CN 1-Pyrazolidinecarboxylic acid, 2-[[[4-chloro-2-fluoro-5-(methoxycarbonyl)phenyl]amino]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)



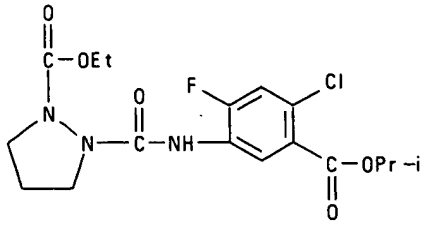
RN 91151-16-5 ZCAPLUS

CN 1-Pyrazolidinecarboxylic acid, 2-[[[4-chloro-5-(ethoxycarbonyl)-2-fluorophenyl]amino]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 91151-17-6 ZCAPLUS

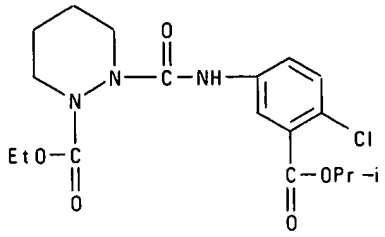
CN 1-Pyrazolidinecarboxylic acid, 2-[[[4-chloro-2-fluoro-5-[(1-methylethoxy)carbonyl]phenyl]amino]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 91151-24-5 ZCAPLUS

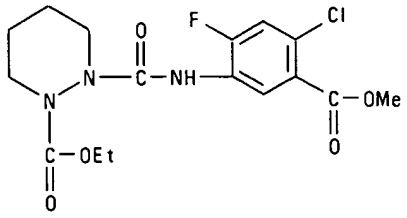
CN 1(2*H*)-Pyridazinecarboxylic acid, 2-[[[4-chloro-3-[(1-methylethoxy)carbonyl]phenyl]amino]carbonyl]tetrahydro-, ethyl ester (9CI) (CA INDEX NAME)

RN 91151-24-5 ZCAPLUS



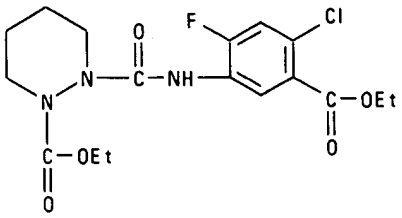
RN 91151-25-6 ZCAPLUS

CN 1(2*H*)-Pyridazinecarboxylic acid, 2-[[[4-chloro-2-fluoro-5-(methoxycarbonyl)phenyl]amino]carbonyl]tetrahydro-, ethyl ester (9CI) (CA INDEX NAME)



RN 91151-26-7 ZCAPLUS

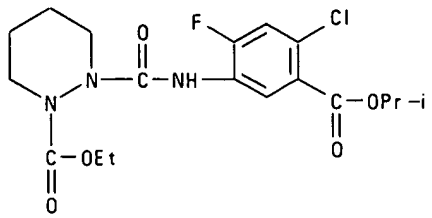
CN 1(2*H*)-Pyridazinecarboxylic acid, 2-[[[4-chloro-5-(ethoxycarbonyl)-2-fluorophenyl]amino]carbonyl]tetrahydro-, ethyl ester (9CI) (CA INDEX NAME)



RN 91151-27-8 ZCAPLUS

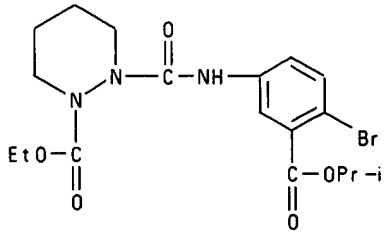
CN 1(2*H*)-Pyridazinecarboxylic acid, 2-[[[4-chloro-2-fluoro-5-[(1-methylethoxy)carbonyl]phenyl]amino]carbonyl]tetrahydro-, ethyl ester (9CI) (CA INDEX NAME)

RN 91151-27-8 ZCAPLUS



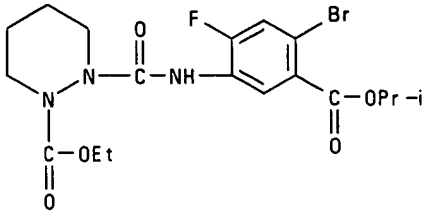
RN 91151-28-9 ZCAPLUS

CN 1(2*H*)-Pyridazinecarboxylic acid, 2-[[[4-bromo-3-[(1-methylethoxy)carbonyl]phenyl]amino]carbonyl]tetrahydro-, ethyl ester (9CI) (CA INDEX NAME)



RN 91151-30-3 ZCAPLUS

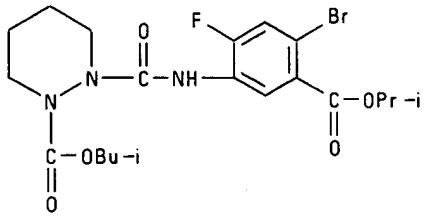
CN 1(2*H*)-Pyridazinecarboxylic acid, 2-[[[4-bromo-2-fluoro-5-[(1-methylethoxy)carbonyl]phenyl]amino]carbonyl]tetrahydro-, ethyl ester (9CI) (CA INDEX NAME)



RN 91151-32-5 ZCAPLUS

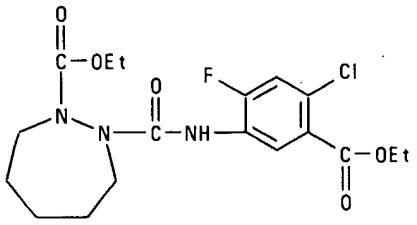
CN 1(2*H*)-Pyridazinecarboxylic acid, 2-[[[4-bromo-2-fluoro-5-[(1-methylethoxy)carbonyl]phenyl]amino]carbonyl]tetrahydro-, 2-methylpropyl ester (9CI) (CA INDEX NAME)

RN 91151-32-5 ZCAPLUS



RN 91151-53-0 ZCAPLUS

CN 1*H*-1,2-Diazepine-1-carboxylic acid, 2-[[[4-chloro-5-(ethoxycarbonyl)-2-fluorophenyl]amino]carbonyl]hexahydro-, ethyl ester (9CI) (CA INDEX NAME)

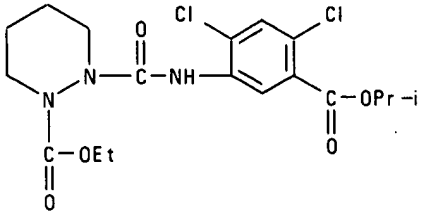


IT ***91151-29-0P*** ***91151-31-4P*** ***91151-54-1P*** ***91151-55-2P*** ***91151-56-3P***

(prepn. of)

RN 91151-29-0 ZCAPLUS

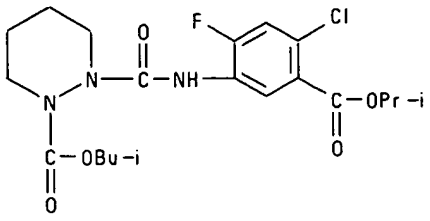
CN 1(2*H*)-Pyridazinecarboxylic acid, 2-[[[2,4-dichloro-5-[(1-methylethoxy)carbonyl]phenyl]amino]carbonyl]tetrahydro-, ethyl ester (9CI) (CA INDEX NAME)



RN 91151-31-4 ZCAPLUS

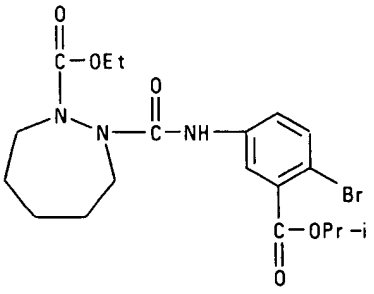
CN 1(2*H*)-Pyridazinecarboxylic acid, 2-[[[4-chloro-2-fluoro-5-[(1-methylethoxy)carbonyl]phenyl]amino]carbonyl]tetrahydro-, 2-methylpropyl ester (9CI) (CA INDEX NAME)

RN 91151-31-4 ZCAPLUS



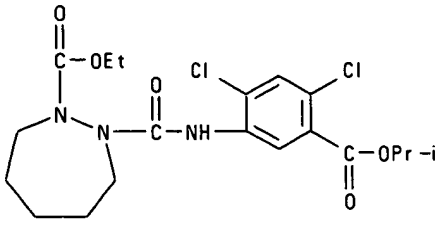
RN 91151-54-1 ZCAPLUS

CN 1*H*-1,2-Diazepine-1-carboxylic acid, 2-[[[4-bromo-3-[(1-methylethoxy)carbonyl]phenyl]amino]carbonyl]hexahydro-, ethyl ester (9CI) (CA INDEX NAME)



RN 91151-55-2 ZCAPLUS

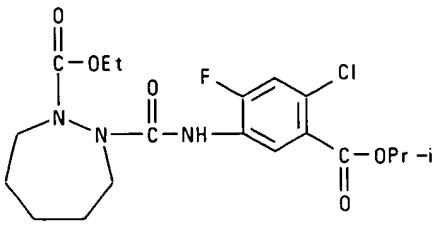
CN 1*H*-1,2-Diazepine-1-carboxylic acid, 2-[[[2,4-dichloro-5-[(1-methylethoxy)carbonyl]phenyl]amino]carbonyl]hexahydro-, ethyl ester (9CI) (CA INDEX NAME)



RN 91151-56-3 ZCAPLUS

CN 1*H*-1,2-Diazepine-1-carboxylic acid, 2-[[[4-chloro-2-fluoro-5-[(1-methylethoxy)carbonyl]phenyl]amino]carbonyl]hexahydro-, ethyl ester (9CI) (CA INDEX NAME)

RN 91151-56-3 ZCAPLUS



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L104 ANSWER 40 OF 47 ZCAPLUS COPYRIGHT 1998 ACS

AN 1978:591427 ZCAPLUS

DN 89:191427

TI Synthesis and biological activity of highly active α-aza analogs of luliberin

AU Dutta, Anand S.; Furr, Barrington J. A.; Giles, Michael B.; Valcaccia, Barbara

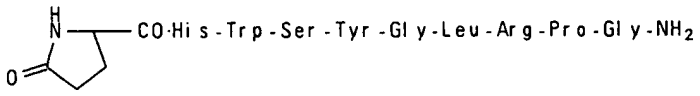
CS Pharm. Div., ICI Ltd., Macclesfield, Engl.

SO J. Med. Chem. (1978), 21(10), 1018-24
CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

GI



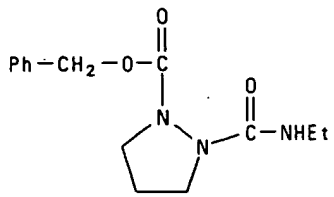
I

AB Luliberin (I) [33515-09-2] analogs contg. α-azaamino acid residues in 6-, 9-, and 10-positions were prepd. by std. peptide couplings. Also prepd. were [D-Phe^6] [57521-78-5] and [D-Ser(Bu-*t*)^6, de-Gly-NH_2; Pro-ethylamide^9] [57982-77-1] analogs of I. The ovulation inducing activity of these peptides was evaluated in androgen-sterilized const.-estrus rats. The peptides contg. a D-amino acid in position 6 and HNNHCO (AzGly) residue in position 10 were superior to the corresponding nonaza analogs. [D-Ph^6, Azgly^{10}]- [65806-99-7] [D-Tyr(Me)^6, AzGly^{10}]- [65807-01-4], and [D-Ser(Bu-*t*)^6, AzGly^{10}]luliberin [65807-02-5] were 100 times as potent as I. [D-Phe^6, MeLeu^7, AzGly^{10}]- [65807-04-7] and [D-Tyr(Me)^6, MeLeu^7, AzGly^{10}]luliberin [65807-05-8] were only 50 times as active as I. Peptides contg. an azaproline residue in position 9, an azaphenylalanine or azaglycine residue in positions 6 and 10, or a Me_3C group on the HO group of the tyrosine residue in position 5 had reduced biol. activity.

IT ***67600-83-3P***
(prepn. and hydrogenolysis of)

RN 67600-83-3 ZCAPLUS

CN 1-Pyrazolidinecarboxylic acid, 2-[(ethylamino)carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



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L104 ANSWER 44 OF 47 ZCAPLUS COPYRIGHT 1998 ACS

AN 1975:593683 ZCAPLUS

DN 83:193683

TI Polypeptides. XIII. Preparation of .alpha.-aza amino acid (carbazic acid) derivatives and intermediates for the preparation of .alpha.-aza peptides

AU Dutta, Anand S.; Morley, John S.

CS Pharm. Div., Imp. Chem. Ind. Ltd., Macclesfield, Engl.

SO J. Chem. Soc., Perkin Trans. 1 (1975), (17), 1712-20
CODEN: JCPRB4

DT Journal

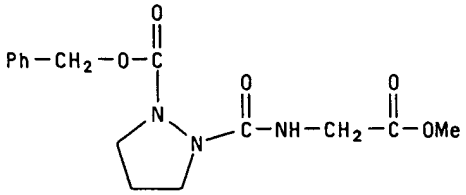
LA English

AB Me3CO2CNHNHCHRR1 (I; R = H, R1 = CHMe2, Ph, C6H4R2-p, R2 = OH, OCMe3, Cl, C6H3(OMe)2-3,4; R = Me, R1 = Et), prepd. from Me3CO2CNHNH2 and aldehydes and MeCOEt followed by hydrogenation, with ClCO2Et and KCNO-HCl gave Me3CO2CNHN(CHRR1)CO2Et and Me3CO2CNHN(CHRR1)CONH2, resp. which on hydrolysis gave .alpha.-azaamino acid esters and amides, resp. I with .alpha.-isocyanato esters gave .alpha.-aza dipeptide derivs. E.g., I (R = H, R1 = Ph) with Me2CHCH2CH(NCO)CO2Me gave N-tert-butoxycarbonyl-.alpha.-azaphenylalanylleucine Me ester.

IT ***57699-93-1P***
(prepn. of)

RN 57699-93-1 ZCAPLUS

CN 1-Pyrazolidinecarboxylic acid, 2-[[(2-methoxy-2-oxoethyl)amino]carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



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